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Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Mike Miller Examiner #: 69404 Date: 12/20/2000
App Unit: 1651 Phone Number 308-4230 Serial Number: 09/197,427
Mail Box and Bldg/Room Location: 116 E/102 Results Format Preferred (circle): PAPER DISK E-MAIL
CM1 10A03

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Process for the preparation of Aminoalcohol

Inventors (please provide full names): Walter Brieden, Josef Schroder, Christine
Bar Neeger - E. G. K. K. Maria Livham, Michael Petersen, Jean - Paul Radu,
Katja Kersch, Holger Breitbach.

Earliest Priority Filing Date: 11/27/1997
For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search claims 1-5

Point of Contact:
Susan Hanley
Technical Info. Specialist
CM1 12C14 Tel: 305-4053

** For Susan Hanley **

STAFF USE ONLY

Searcher: Hanley

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: 12/28

Date Completed: 1/2/98

Searcher Prep & Review Time: 90

Clerical Prep Time: _____

Online Time: 15

Type of Search

NA Sequence (#) _____

AA Sequence (#) _____

Structure (#) 2

Bibliographic _____

Litigation _____

Fulltext _____

Patent Family _____

Other _____

Vendors and cost where applicable

STN

Dialog _____

Questel/Orbit _____

Dr. Link _____

Lexis/Nexis _____

Sequence Systems _____

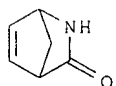
WWW/Internet _____

Other (specify) _____

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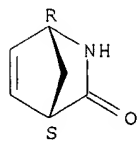
L57 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1999:425590 HCAPLUS
 DN 131:73379
 TI Preparation of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates
 IN Brieden, Walter; Schroer, Josef; Bernegger-Egli, Christine; Urban, Eva Maria; Petersen, Michael; Roduit, Jean-Paul; Berchtold, Katja; Breitbach, Holger
 PA Lonza A.-G., Switz.
 SO Eur. Pat. Appl., 28 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 926131	A2	19990630	EP 1998-122293	19981124
	EP 926131	A3	20000322		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	NO 9805511	A	19990528	NO 1998-5511	19981126
	CN 1218795	A	19990609	CN 1998-123022	19981127
	JP 11228510	A2	19990824	JP 1998-337437	19981127
PRAI	CH 1997-2739		19971127		
	CH 1997-2781		19971203		
	CH 1998-133		19980121		
	CH 1998-723		19980327		
	EP 1998-118895		19981007		
AB	Title compds. were prepd. by metal hydride redn. of 2-azabicyclo[2.2.1]hept-5-en-3-one.				
IT	49805-30-3 , 2-Azabicyclo[2.2.1]hept-5-en-3-one. 79200-56-9 , (-)-2-Azabicyclo[2.2.1]hept-5-en-3-one. 162307-09-7 RL: RCT (Reactant) (prepn. of 4-amino-2-cyclopentenemethanol enantiomers as drug intermediates)				
RN	49805-30-3 HCAPLUS				
CN	2-Azabicyclo[2.2.1]hept-5-en-3-one (9CI) (CA INDEX NAME)				



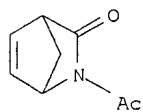
RN 79200-56-9 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, (1R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 162307-09-7 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-acetyl- (9CI) (CA INDEX NAME)

MELLER 09/198,427

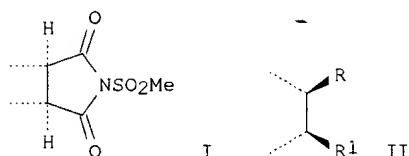


SEARCHED BY SUSAN HANLEY 305-4053

Page 2

=> d bib abs hitstr 157 2

L57 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1996:322775 HCAPLUS
 DN 125:195018
 TI Nonreductive enantioselective ring opening of N-(methylsulfonyl)dicarboximides with diisopropoxytitanium .alpha.,.alpha.,.alpha.',.alpha.'-tetraaryl-1,3-dioxolane-4,5-dimethanolate
 AU Ramon, Diego J.; Guillena, Gabriela; Seebach, Dieter
 CS Laboratorium Organische Chemie, Univ. Zurich, Zurich, CH-8092, Switz.
 SO Helv. Chim. Acta (1996), 79(3), 875-894
 CODEN: HCACAV; ISSN: 0018-019X
 DT Journal
 LA English
 OS CASREACT 125:195018
 GI

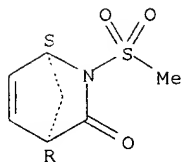


AB Bi- and tricyclic meso-N-(methylsulfonyl)dicarboximides of type I are converted enantioselectively to the resp. mono- and bicyclic [(sulfonamido)carbonyl]carboxylates of type II (R = CO₂CHMe₂, R₁ = CONHSO₂Me) by diisopropoxytitanium TADDOLate (75-92% yield). The enantiomer ratios of the products are between 86:14 and 97:3. Recrystn. from CH₂Cl₂/hexane leads to enantiomerically pure products. The enantioselectivity shows a linear relationship with the enantiomer excess of the TADDOL employed. Redn. of the ester and carboxamide groups and addnl. reductive cleavage of the sulfonamido group gives hydroxy sulfonamides and **amino alcs.** of type II (R = CH₂OH; R₁ = NHSO₂Me) and II (R = CH₂OH; R₁ = CH₂NH₂), resp. The abs. configuration of the sulfonamido esters is detd. by chem. correlation, by the x-ray anal. of a camphanate of a hydroxy sulfonamide, and by comparative ¹⁹F-NMR anal. of the Mosher esters of the hydroxy sulfonamides. A general proposal for the assignment of the abs. configuration of primary alcs. and amines of Formula HXCH₂CHRR₁ (X = O, NH), is suggested. From the assignment of the configuration of the sulfonamido esters follows that the Re carbonyl group of the original imide I is converted to an iso-Pr ester group. This result is compatible with a rule previously put forward for the stereochem. course of reactions involving Ti TADDOLate activated chelating electrophiles. A tentative mechanistic model is proposed.

IT **180979-43-5P**
 RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
 (nonreductive enantioselective ring opening of N-(methylsulfonyl)dicarboximides with diisopropoxytitanium TADDOLate)

RN 180979-43-5 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(methylsulfonyl)-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 130931-83-8

RL: RCT (Reactant)

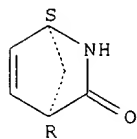
(nonreductive enantioselective ring opening of N-

(methylsulfonyl)dicarboximides with diisopropoxytitanium TADDOLate)

RN 130931-83-8 HCAPLUS

CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 180790-32-3P

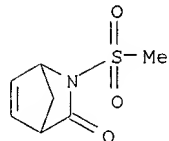
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(nonreductive enantioselective ring opening of N-

(methylsulfonyl)dicarboximides with diisopropoxytitanium TADDOLate)

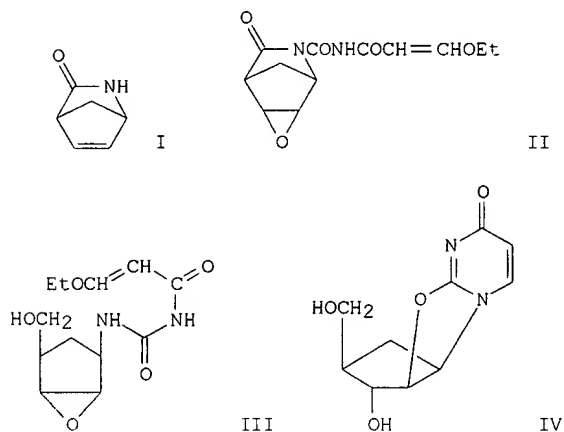
RN 180790-32-3 HCAPLUS

CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(methylsulfonyl)- (9CI) (CA INDEX NAME)



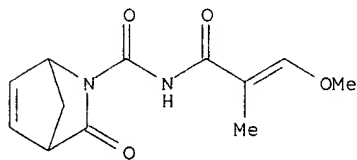
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L57 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1991:632737 HCAPLUS
 DN 115:232737
 TI Synthesis of nucleosides and related compounds. XXII. Carbocyclic
 analogs of thymidine and related compounds from 2-azabicyclo[2.2.1]hept-5-
 en-3-ones
 AU Katagiri, Nobuya; Nomura, Masahiro; Muto, Makoto; Kaneko, Chikara
 CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
 SO Chem. Pharm. Bull. (1991), 39(7), 1682-8
 CODEN: CPBTAL; ISSN: 0009-2363
 DT Journal
 LA English
 GI



AB Reductive amido bond cleavage, previously used for the synthesis of
 carbocyclic ribothymidine from the readily available 2-
 azabicyclo[2.2.1]hept-5-en-3-one (I), was successfully applied to the
 synthesis of carbocyclic analogs of thymidine and related compds. Thus,
 epoxidn. of I gave 5,6-exo-epoxy-2-azabicyclo[2.2.1]heptan-3-one which was
 treated with 3-ethoxyacryloyl chloride and AgOCN to give amido deriv. II.
 The latter was reduced by **NaBH4** to give
 hydroxymethylcyclopentane deriv. III which was cyclized in MeOH contg.
 NH4OH to give carbocyclic analog of 2,2'-cyclocytidine IV.

IT **136994-68-8P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and **redn.** of)
 RN 136994-68-8 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-ene-2-carboxamide, N-(3-methoxy-2-methyl-1-oxo-2-
 propenyl)-3-oxo- (9CI) (CA INDEX NAME)



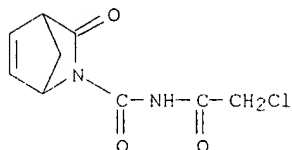
MELLER 09/198,427

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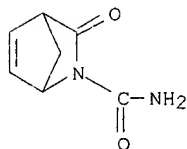
L57 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1991:142741 HCAPLUS
 DN 114:142741
 TI cis-4-Ureidopent-2-enemethanol and its preparation
 IN Kaneko, Chikara; Katagiri, Shinya
 PA Japan
 SO Jpn. Kokai Tokkyo Koho, 5 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 02221250	A2	19900904	JP 1989-44738	19890223

OS MARPAT 114:142741
 AB The title compd. (I), useful as an intermediate for carbocyclic pyrimidine nucleosides, is prepd. by reductive ring opening of 2-carbamoyl-2-azabicyclo[2.2.1]hept-5-ene-3-one or its N-haloacetyl derivs. 2-Azabicyclo[2.2.1]hept-5-ene-3-one was treated with ClCH₂CONCO in benzene under stirring at room temp. for 1.5 h to give 69% 2-(N-chloroacetyl)carbamoyl-2-azabicyclo[2.2.1]hept-5-ene-3-one, which in MeOH was treated with NaBH₄ at room temp. for 3 h to give 59% I.
 IT **132243-25-5P 132243-26-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and **reductive** ring opening of, ureidocyclopentenemethanol from)
 RN 132243-25-5 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-ene-2-carboxamide, N-(chloroacetyl)-3-oxo- (9C (CA INDEX NAME)



RN 132243-26-6 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-ene-2-carboxamide, 3-oxo- (9CI) (CA INDEX NAME)



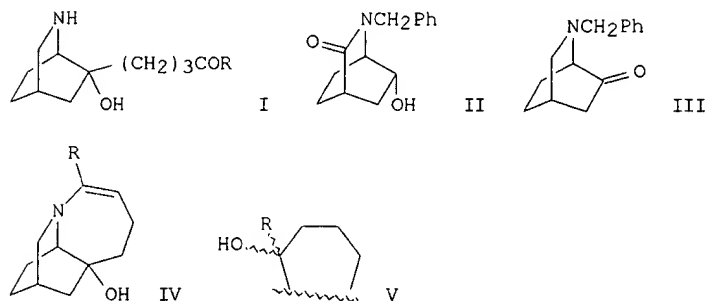
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L57 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1991:102661 HCAPLUS
 DN 114:102661
 TI Carbocyclic nucleoside analogs. Synthesis and properties of
 1-(4-hydroxymethyl-2-cyclopenten-1-yl)thymine
 AU Van Maarschalkerwaart, D. A. H.; Willard, N. P.; Koomen, G. J.
 CS Org. Chem. Lab., Univ. Amsterdam, 1018 WS, Neth.
 SO Nucleosides Nucleotides (1990), 9(6), 787-91
 CODEN: NUNUD5; ISSN: 0732-8311
 DT Journal
 LA English
 OS CASREACT 114:102661
 AB The title compd., a potential anti-AIDS drug, was prepd. via construction
 of the thymine ring on a suitably substituted aminocyclopentene. NOE
 difference spectroscopy was used for establishing the stereochem. of the
 products.
 IT **61865-48-3**
 RL: RCT (Reactant)
 (reactions of, in synthesis of carbocyclic nucleoside analogs)
 RN 61865-48-3 HCAPLUS

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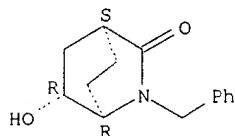
L57 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1977:72408 HCAPLUS
 DN 86:72408
 TI Heterocyclic .alpha.-**amino alcohols** with the
 isoquinuclidine skeleton, II
 AU Thon, Detlev; Schneider, Woldemar
 CS Pharm. Inst., Univ. Freiburg, Freiburg/Br., Ger.
 SO Justus Liebig's Ann. Chem. (1976), (11), 2094-104
 CODEN: JLACBF
 DT Journal
 LA German
 GI



AB Amino ketones I ($R = Me, Et$) were prep'd. in 7 steps from keto alc. II and $Cl(CH_2)_3C(Z)R$ ($R = Me, Et, Z = O$) via Grignard reaction of $Cl(CH_2)_3C(Z)R$ ($R = Me, Et, Z = OCH_2CH_2O$) with ketone III. Thermal cyclization of I gave tricyclic enamines IV via unisolated **amino alcs.** V.

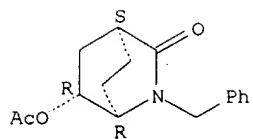
IT **5906-38-7**
 RL: RCT (Reactant)
 (O-acylation of)
 RN 5906-38-7 HCAPLUS
 CN 2-Azabicyclo[2.2.2]octan-3-one, 6-hydroxy-2-(phenylmethyl)-,
 (1.alpha.,4.alpha.,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **5906-39-8P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and redn. of)
 RN 5906-39-8 HCAPLUS
 CN 2-Azabicyclo[2.2.2]octan-3-one, 6-(acetyloxy)-2-(phenylmethyl)-,
 (1.alpha.,4.alpha.,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



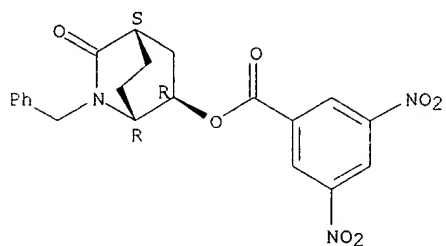
IT **61707-36-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 61707-36-6 HCAPLUS

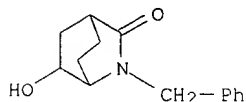
CN 2-Azabicyclo[2.2.2]octan-3-one, 6-[(3,5-dinitrobenzoyl)oxy]-2-(phenylmethyl)-, (1.alpha.,4.alpha.,6.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



=> d bib abs hitstr 157 7

L57 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1973:418547 HCAPLUS
 DN 79:18547
 TI Improved synthesis of 2-substituted 2-azabicyclo[2.2.2]octanones
 AU Borne, Ronald F.; Clark, C. Randall; Peden, Richard L.
 CS Sch. Pharm., Univ. Mississippi, University, Miss., USA
 SO J. Heterocycl. Chem. (1973), 10(2), 241-2
 CODEN: JHTCAD
 DT Journal
 LA English
 GI For diagram(s), see printed CA Issue.
 AB 2-Benzyl-2-azabicyclo[2.2.2]octan-6-one (I) was prepd. from
 2-benzyl-6-trans-hydroxy-2-azabicyclo[2.2.2]octane (II, X = H₂) in 77%
 yield by the Oppenauer oxidn. using KOCMe₃. II was prepd. in 80% yield
 from 2-benzyl-6-trans-hydroxy-2-azabicyclo[2.2.2]octan-3-one (II, X = O)
 redn. using Red-Al. Other **amino alcs.** were also
 oxidized by this method.
 IT **38025-71-7**
 RL: RCT (Reactant)
 (redn. of)
 RN 38025-71-7 HCAPLUS
 CN 2-Azabicyclo[2.2.2]octan-3-one, 6-hydroxy-1-(phenylmethyl)- (9CI) (CA
 INDEX NAME)



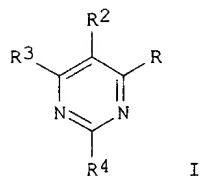
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L57 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1968:419316 HCAPLUS
 DN 69:19316
 TI Reactions of dl-camphoric acid derivatives
 AU Manzoor-I-Khuda, M.; Akhter, Mrs. Malika; Quereishi, Shahida
 CS Cent. Lab., Pakistan Counc. Sci. Ind. Res., Pakistan, India
 SO Pakistan J. Sci. Ind. Res. (1967), 10(2), 97-101
 CODEN: PSIRAA
 DT Journal
 LA English
 GI For diagram(s), see printed CA Issue.
 AB The amides of dl-ortho-camphoric acid and dl-allo-camphoric acid are
 prepd. under mild conditions and examd. by ir and N.M.R. spectroscopy to
 give conclusive evidence of stereoisomerism. Thus, the reaction of 20 g.
 Me ortho-camphorate (I) with 7.4 ml. SOCl₂ 1 hr. at room temp., evapn. of
 excess SOCl₂ in vacuo at room temp., and addn. of the ester acid chloride
 to 400 ml. liq. NH₃ gave ortho-camphoric Me ester amide (m.
 134-6.degree.). Further treatment of the aq. ammonia soln. gave unchanged
 I (m. 83.degree.), camphoric **anhydride** (m. 220-1.degree.),
 camphorimide (II) (m. 245.degree.), and III, (m. 172.degree.). Similar
 treatment of Me allo-camphorate gave allo-camphoric Me ester amide (m.
 120.degree.), II (m. 250.degree.), and a cycloamide (IV, m.
 209-10.degree.). .alpha.-Camphoramidic acid (V) (m. 192.degree.; Me ester
 m. 120.degree.) was prepd. by adding 2 g. camphoric **anhydride** to
 50 ml. 35% aq. NH₃ and acidifying the filtrate with HCl.
 .beta.-Camphoramidic acid (VI) (m. 175.degree.; Me ester m. 135-6.degree.)
 was prepd. by refluxing 1 g. II in 50 ml. 5% NaOH, acidifying the mixt.,
 treating the ether ext. with Na₂CO₃, acidifying the alk. soln., extg. with
 ether, and evapg. Thus, the Me esters of V and VI are identical with the
 allo- and ortho-ester amides, resp. LiAlH₄ redn. of the ester amides in
 tetrahydrofuran gave **amino alcs.** having superimposable
 ir spectra but different HCl and diacetate derivs.; ortho-camphoramine
 alc.-HCl m. 250.degree.; diacetate b2.cntdot.0 180-90.degree.; and
 allo-camphoramine alc.-HCl m. 256.degree.; diacetate b4 215-20.degree..
 Redn. of II gave an oil which on treatment with HCl gave camphorimine-HCl,
 m. 282-8.degree..
 IT **19908-58-8P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 19908-58-8 HCAPLUS

=> d bib abs hitstr 119 1

L19 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 2000:756683 HCAPLUS
 DN 133:309906
 TI Method for producing 2-alkylthio-4-chloropyrimidines
 IN **Roduit, Jean-Paul**
 PA Lonza A.-G., Switz.
 SO PCT Int. Appl., 15 pp.
 CODEN: PIXXD2
 DT Patent
 LA German
 FAN.CNT 1

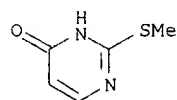
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063184	A1	20001026	WO 2000-EP3136	20000407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI EP 1999-107621 19990416				
OS CASREACT 133:309906; MARPAT 133:309906				
GI				



AB Title compds. (I; R = Cl; R2,R3 = H or alkyl; R4 = SR1; R1 = alkyl) were prepd. by S-alkylation of I (R = OH) (II; R4 = SH) by R1X (X = Cl, Br, iodo) in the presence of a base to give II (R4 = SR1) followed by treatment with COCl2.

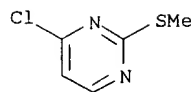
IT **5751-20-2P, 4-Hydroxy-2-methylthiopyrimidine**
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (method for producing 2-alkylthio-4-chloropyrimidines)

RN 5751-20-2 HCAPLUS
 CN 4(1H)-Pyrimidinone, 2-(methylthio)- (8CI, 9CI) (CA INDEX NAME)

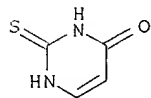


IT **49844-90-8P, 4-Chloro-2-methylthiopyrimidine**
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (method for producing 2-alkylthio-4-chloropyrimidines)

RN 49844-90-8 HCAPLUS
 CN Pyrimidine, 4-chloro-2-(methylthio)- (7CI, 9CI) (CA INDEX NAME)



IT **141-90-2**, 2-Thiouracil
 RL: RCT (Reactant)
 (method for producing 2-alkylthio-4-chloropyrimidines)
 RN 141-90-2 HCAPLUS
 CN 4(1H)-Pyrimidinone, 2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)



RE.CNT 3
 RE
 (1) Alan, R; JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANSACTIONS 2 1989, V10, P1499
 (2) Hoechst; DE 4029650 A 1992 HCAPLUS
 (3) Zemlicka, J; TETRAHEDRON LETTERS 1962, V9, P397

=> d bib abs hitstr 119 2

L19 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2000 ACS

AN 2000:645675 HCAPLUS

DN 133:238117

TI Process for the preparation of n-[5-(diphenylphosphinoylmethyl)-4-(4-fluorophenyl)-6-isopropylpyrimidin-2-yl]-n-methylmethanesulfonamide

IN **Brieden, Walter**; Veith, Ulrich

PA Lonza A.-G., Switz.

SO Eur. Pat. Appl., 8 pp.

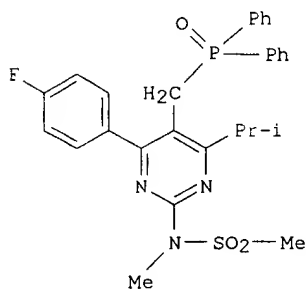
CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1035127	A1	20000913	EP 2000-105011	20000309
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6160115	A	20001212	US 2000-521842	20000309
	JP 2000309595	A2	20001107	JP 2000-66084	20000310
	CN 1272499	A	20001108	CN 2000-103783	20000310
PRAI	EP 1999-104785		19990310		
	EP 1999-104786		19990310		
	US 1999-147139		19990804		
OS	CASREACT 133:238117				
GI					



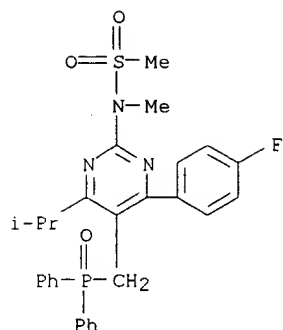
AB Title compd. I was prepd. in 89% yield by direct reaction of [4-(4-fluorophenyl)-6-isopropyl-2-(N-methyl-N-methylsulfonylamino)pyrimidin-5-yl]methanol with Ph₂PCl in toluene at 60.degree.. I is an intermediate in the synthesis of pharmaceutically active agents, in particular, HMG-Co A reductase inhibitors.

IT **289042-10-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 289042-10-0 HCAPLUS

CN Methanesulfonamide, N-[5-[(diphenylphosphinyl)methyl]-4-(4-fluorophenyl)-6-(1-methylethyl)-2-pyrimidinyl]-N-methyl- (9CI) (CA INDEX NAME)

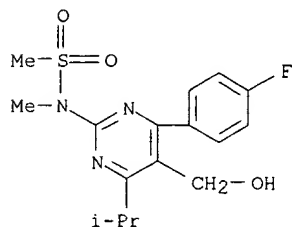


IT 147118-36-3

RL: RCT (Reactant)
(reaction with chlorodiphenylphosphine)

RN 147118-36-3 HCAPLUS

CN Methanesulfonamide, N-[4-(4-fluorophenyl)-5-(hydroxymethyl)-6-(1-methylethyl)-2-pyrimidinyl]-N-methyl- (9CI) (CA INDEX NAME)

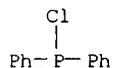


IT 1079-66-9, Chlorodiphenylphosphine

RL: RCT (Reactant)
(reaction with substituted pyrimidinylmethanol deriv.)

RN 1079-66-9 HCAPLUS

CN Phosphinous chloride, diphenyl- (6CI, 8CI, 9CI) (CA INDEX NAME)



RE.CNT 4

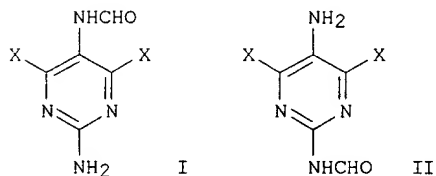
RE

- (1) Buss, A; JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANSACTIONS 1 1985
- (2) Halmann, M; PHOSPHORUS SULFUR RELAT ELEM 1988, V40(3-4), P251 HCAPLUS
- (3) Shionogi Seiyaku K K; EP 0521471 A 1993 HCAPLUS
- (4) Watanabe, M; BIOORG MED CHEM 1997, V5(2), P437 HCAPLUS

=> d bib abs hitstr 119 3

L19 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 2000:441376 HCAPLUS
 DN 133:58809
 TI Process for the preparation of N-(amino-4,6-dihalo-5-pyrimidinyl
)formamides
 IN Saikali, Elie; Brieden, Walter
 PA Lonza A.-G., Switz.
 SO Eur. Pat. Appl., 7 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1013647	A2	20000628	EP 1999-125042	19991215
	EP 1013647	A3	20001004		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2000191647	A2	20000711	JP 1999-359778	19991217
	CN 1265393	A	20000906	CN 1999-126244	19991217
	NO 9906325	A	20000622	NO 1999-6325	19991220
PRAI	EP 1998-124188		19981221		
	EP 1999-100788		19990118		
	EP 1999-107161		19990412		
	US 1999-146106		19990729		
OS	CASREACT 133:58809; MARPAT 133:58809				
GI					



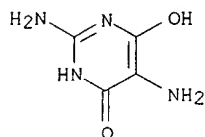
AB Title compds. I (X = halo) and II (X = halo) were prepd. Thus, 0.01 mol 2,5-diamino-4,6-dichloropyrimidine and 4.55 mL water were stirred at room temp., 14.97 mL 98% HCO₂H was added, and the reaction mixt. was heated at 50-55.degree. for 3 h. After azeotropic distn., I (X = Cl) was obtained in 90% yield.

IT **70080-76-1**

RL: RCT (Reactant)
 (chlorination of)

RN 70080-76-1 HCAPLUS

CN 4(1H)-Pyrimidinone, 2,5-diamino-6-hydroxy-, hydrochloride (9CI) (CA INDEX NAME)

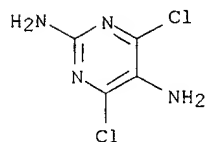


x HCl

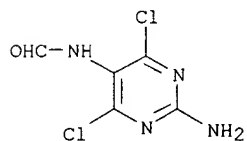
IT **55583-59-0, 2,5-Diamino-4,6-dichloropyrimidine**

SEARCHED BY SUSAN HANLEY 305-4053

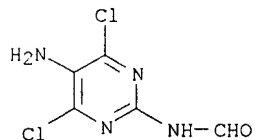
RL: RCT (Reactant)
 (prepn. and formylation of)
 RN 55583-59-0 HCAPLUS
 CN 2,5-Pyrimidinediamine, 4,6-dichloro- (9CI) (CA INDEX NAME)



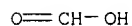
IT 171887-03-9P 276856-48-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 171887-03-9 HCAPLUS
 CN Formamide, N-(2-amino-4,6-dichloro-5-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 276856-48-5 HCAPLUS
 CN Formamide, N-(5-amino-4,6-dichloro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



IT 64-18-6, Formic acid, reactions
 RL: RCT (Reactant)
 (prepn. of N-(amino-4,6-dihalo-5-pyrimidinyl)formamides)
 RN 64-18-6 HCAPLUS
 CN Formic acid (7CI, 8CI, 9CI) (CA INDEX NAME)



=> d bib abs hitstr 119 4

L19 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2000 ACS

AN 2000:314678 HCAPLUS

DN 132:308602

TI Preparation of 4-[(2,5-diamino-6-halopyrimidin-4-yl)amino]cyclopent-2-enylmethanols from 2,5-diamino-4,6-dihalopyrimidines and 4-aminocyclopent-2-enylmethanol.

IN Brieden, Walter; Saikali, Elie

PA Lonza A.-G., Switz.

SO PCT Int. Appl., 21 pp.

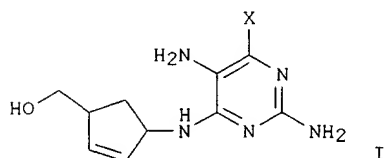
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000026193	A1	20000511	WO 1999-EP8270	19991029
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI EP 1998-120529		19981030		
US 1999-146105		19990729		
OS CASREACT 132:308602				
GI				



AB Title compds. (I; X = halo) were prepd. by reaction of 2,5-diamino-4,6-dihalopyrimidines with 4-aminocyclopent-2-enylmethanol in the presence of base and in a polar protic solvent. Thus, (1S,4R)-4-aminocyclopent-2-enylmethanol hydrochloride, 2,5-diamino-4,6-dichloropyrimidine, and NaHCO₃ were refluxed 16 h in EtOH to give 60% I (X = Cl).

IT 141271-12-7P

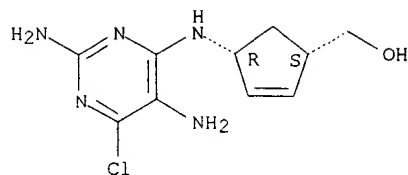
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of 4-[(2,5-diamino-6-halopyrimidin-4-yl)amino]cyclopent-2-enylmethanols from 2,5-diamino-4,6-dihalopyrimidines and 4-aminocyclopent-2-enylmethanol)

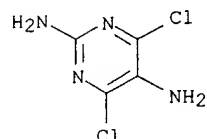
RN 141271-12-7 HCAPLUS

CN 2-Cyclopentene-1-methanol, 4-[(2,5-diamino-6-chloro-4-pyrimidinyl)amino]-, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

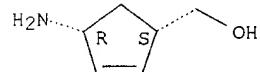


IT 55583-59-0, 2,5-Diamino-4,6-dichloropyrimidine
 168960-19-8 229177-39-3
 RL: RCT (Reactant)
 (prepn. of 4-[(2,5-diamino-6-halopyrimidin-2-yl)amino]cyclopent-2-enylmethanols from 2,5-diamino-4,6-dihalopyrimidines and 4-aminocyclopent-2-enylmethanol)
 RN 55583-59-0 HCAPLUS
 CN 2,5-Pyrimidinediamine, 4,6-dichloro- (9CI) (CA INDEX NAME)



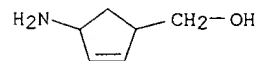
RN 168960-19-8 HCAPLUS
 CN 2-Cyclopentene-1-methanol, 4-amino-, hydrochloride, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

RN 229177-39-3 HCAPLUS
 CN 2-Cyclopentene-1-methanol, 4-amino- (9CI) (CA INDEX NAME)



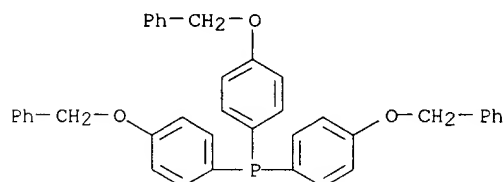
RE.CNT 9
 RE
 (1) Andersen, M; TETRAHEDRON LETTERS,NL,ELSEVIER SCIENCE 1996, V37(45), P8147 HCAPLUS
 (2) Beecham Group Plc; WO 9101310 A 1991 HCAPLUS
 (3) Evans, C; JOURNAL OF THE CHEMICAL SOCIETY 1992, 5, P589 HCAPLUS
 (4) Legraverend, M; SYNTHESIS 1990, 7, P587 HCAPLUS
 (5) Lonza Ag; EP 0684236 A 1995 HCAPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 119 5

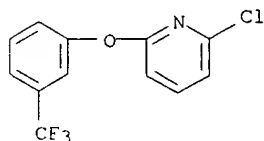
L19 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1999:289436 HCAPLUS
 DN 130:311703
 TI Preparation of N-arylheteroaromatic carboxamides
 IN **Roduit, Jean-Paul**; Kalbermatten, Georges
 PA Lonza A.-G., Switz.
 SO U.S., 8 pp., Cont.-in-part of U.S. Ser. No. 850,393.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5900484	A	19990504	US 1997-926455	19970910
	US 5892032	A	19990406	US 1997-850393	19970502
PRAI	CH 1996-2279		19960918		
	US 1997-850393		19970502		
	CH 1996-1178		19960509		

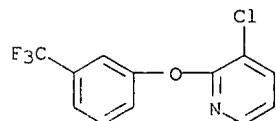
OS CASREACT 130:311703; MARPAT 130:311703
 AB RCONR6R7 [R = (un)substituted pyridinyl, -pyrimidinyl, -pyrazinyl, etc.; R6 = H or alkyl; R7 = (un)substituted (hetero)aryl] were prepd. by condensation of RR1 (R1 = Cl, Br, iodo), CO, and HNR6R7 in the presence of a Pd phosphine complex.
 IT **223626-61-7**
 RL: CAT (Catalyst use); USES (Uses)
 (prepn. of)
 RN 223626-61-7 HCAPLUS
 CN Phosphine, tris[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



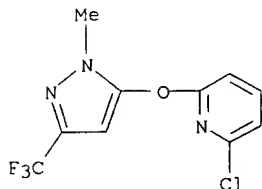
IT **153564-24-0P 197565-66-5P 199276-46-5P**
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of N-arylheteroarom. carboxamides)
 RN 153564-24-0 HCAPLUS
 CN Pyridine, 2-chloro-6-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



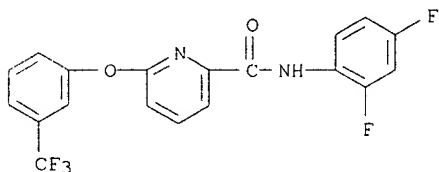
RN 197565-66-5 HCAPLUS
 CN Pyridine, 3-chloro-2-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



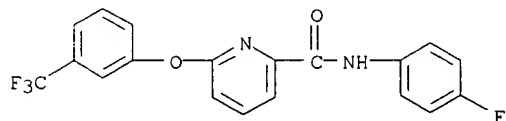
RN 199276-46-5 HCAPLUS
 CN Pyridine, 2-chloro-6-([1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]oxy)-
 (9CI) (CA INDEX NAME)



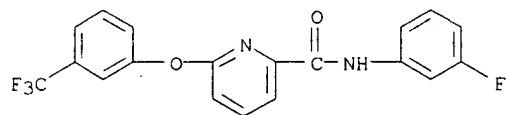
IT 137640-96-1P 137641-05-5P 137641-18-0P
 137641-25-9P 137641-28-2P 157328-69-3P
 157328-74-0P 223609-23-2P
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
 (Preparation)
 (prepn. of N-arylheteroarom. carboxamides)
 RN 137640-96-1 HCAPLUS
 CN 2-Pyridinecarboxamide, N-(2,4-difluorophenyl)-6-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



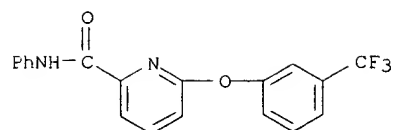
RN 137641-05-5 HCAPLUS
 CN 2-Pyridinecarboxamide, N-(4-fluorophenyl)-6-[3-(trifluoromethyl)phenoxy]-
 (9CI) (CA INDEX NAME)



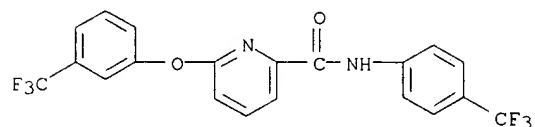
RN 137641-18-0 HCAPLUS
 CN 2-Pyridinecarboxamide, N-(3-fluorophenyl)-6-[3-(trifluoromethyl)phenoxy]-
 (9CI) (CA INDEX NAME)



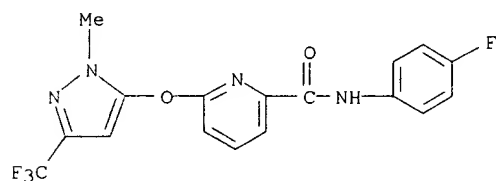
RN 137641-25-9 HCAPLUS
 CN 2-Pyridinecarboxamide, N-phenyl-6-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



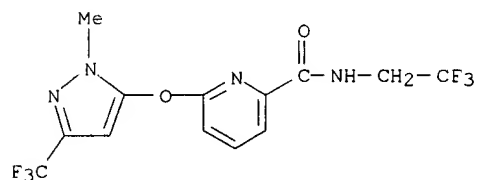
RN 137641-28-2 HCAPLUS
CN 2-Pyridinecarboxamide, 6-[3-(trifluoromethyl)phenoxy]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



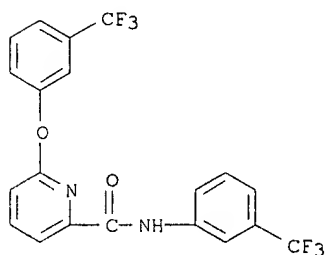
RN 157328-69-3 HCAPLUS
CN 2-Pyridinecarboxamide, N-(4-fluorophenyl)-6-[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]oxy]- (9CI) (CA INDEX NAME)



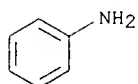
RN 157328-74-0 HCAPLUS
CN 2-Pyridinecarboxamide, N-(2,2,2-trifluoroethyl)-6-[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]oxy]- (9CI) (CA INDEX NAME)



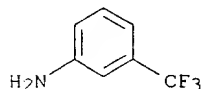
RN 223609-23-2 HCAPLUS
CN 2-Pyridinecarboxamide, 6-[3-(trifluoromethyl)phenoxy]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



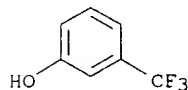
IT 62-53-3, Aniline, reactions 98-16-8,
 3-Trifluoromethylaniline 98-17-9, 3-Trifluoromethylphenol
 367-25-9, 2,4-Difluoroaniline 371-40-4, 4-Fluoroaniline
 372-19-0, 3-Fluoroaniline 455-14-1, 4-
 Trifluoromethylaniline 2402-77-9, 2,3-Dichloropyridine
 2402-78-0, 2,6-Dichloropyridine 122431-37-2
 RL: RCT (Reactant)
 (prepn. of N-arylheteroarom. carboxamides)
 RN 62-53-3 HCAPLUS
 CN Benzenamine (9CI) (CA INDEX NAME)



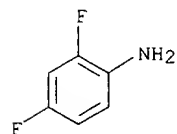
RN 98-16-8 HCAPLUS
 CN Benzenamine, 3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



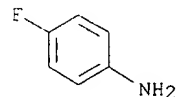
RN 98-17-9 HCAPLUS
 CN Phenol, 3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



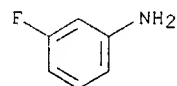
RN 367-25-9 HCAPLUS
 CN Benzenamine, 2,4-difluoro- (9CI) (CA INDEX NAME)



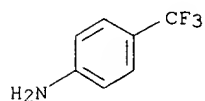
RN 371-40-4 HCAPLUS
 CN Benzenamine, 4-fluoro- (9CI) (CA INDEX NAME)



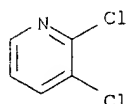
RN 372-19-0 HCAPLUS
 CN Benzenamine, 3-fluoro- (9CI) (CA INDEX NAME)



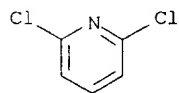
RN 455-14-1 HCAPLUS
CN Benzenamine, 4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



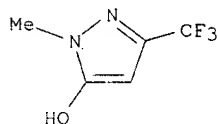
RN 2402-77-9 HCAPLUS
CN Pyridine, 2,3-dichloro- (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 2402-78-0 HCAPLUS
CN Pyridine, 2,6-dichloro- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 122431-37-2 HCAPLUS
CN 1H-Pyrazol-5-ol, 1-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RE.CNT 41
RE
(1) Allphin; US 5166352 1992 HCAPLUS
(2) Anon; 1969 HCAPLUS
(3) Anon; EP 0001187 1979 HCAPLUS
(4) Anon; EP 0053011 1982 HCAPLUS
(5) Anon; 1988 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 119 6

L19 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2000 ACS

AN 1998:760072 HCAPLUS

DN 130:24137

TI Multistep process for the preparation of (1S,4R)- and/or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol

IN **Bernegger, Christine; Urban, Eva-Maria;** Birch, Olwen
Mary; Burgdorf, Kurt; Brux, Frank; Etter, Kay-Sara; Bossard, Pierre;
Brieden, Walter; Duc, Laurent; Gordon, John; O'murchu, Colm;
Guggisberg, Yves

PA Lonza Ag, Switz.

SO Eur. Pat. Appl., 39 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 878548	A2	19981118	EP 1998-108721	19980513
	EP 878548	A3	19991013		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6156893	A	20001205	US 1998-73553	19980506
	CA 2237297	AA	19981113	CA 1998-2237297	19980511
	NO 9802149	A	19981116	NO 1998-2149	19980512
	JP 11005793	A2	19990112	JP 1998-129338	19980512
	CN 1201794	A	19981216	CN 1998-108865	19980513
	US 6137007	A	20001024	US 1999-373862	19990813
PRAI	CH 1997-1116		19970513		
	CH 1997-2740		19971127		
	US 1998-73553		19980506		
OS	MARPAT 130:24137				
AB	A new procedure for the prodn. of (1S,4R)- (I) or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol (II) is claimed. (.+-.)-2-Azabicyclo[2.2.1]hept-5-en-3-one is acylated at the amide NH and the compd. is cleaved to form the racemic acylamino cyclopentene deriv. This is stereospecifically deacylated by a biotechnol. process to produce (1S,4R)- or (1R,4S)-1-amino-4-hydroxymethyl-2-cyclopentene. A 4th step is the reaction with N-(2-amino-4,6-dichloropyrimidine-5-yl)formamide to produce (1S,4R)- and/or (1R,4S)-4-[(2-amino-6-chloro-5-formamido-4-pyrimidinyl)-amino]-2-cyclopentene-1-methanol, which are cyclized to produce compds. I and II.				
IT	9012-56-0P, N-Acetylaminosalcohol hydrolase RL: BAC (Biological activity or effector, except adverse); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation) (from Rhodococcus erythropolis)				
RN	9012-56-0 HCAPLUS				
CN	Amidase (9CI) (CA INDEX NAME)				

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **136522-33-3P 216481-88-8P**

RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

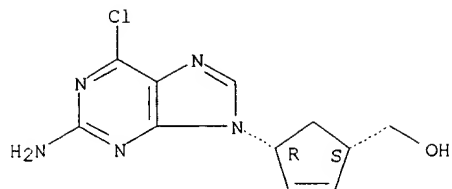
(multistep process for the prepn. of (1S,4R)- and/or

(1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)

RN 136522-33-3 HCAPLUS

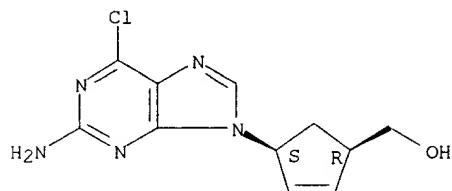
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-, (1S,4R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



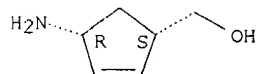
RN 216481-88-8 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-(2-amino-6-chloro-9H-purin-9-yl)-, (1R,4S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 168960-19-8P
RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(multistep process for the prepn. of (1S,4R)- and/or
(1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
RN 168960-19-8 HCAPLUS
CN 2-Cyclopentene-1-methanol, 4-amino-, hydrochloride, (1S,4R)- (9CI) (CA INDEX NAME)

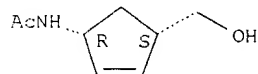
Absolute stereochemistry. Rotation (-).



● HCl

IT 130931-86-1P 168960-18-7P 171887-04-0P
216481-85-5P
RL: BPN (Biosynthetic preparation); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(multistep process for the prepn. of (1S,4R)- and/or
(1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
RN 130931-86-1 HCAPLUS
CN Acetamide, N-[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)

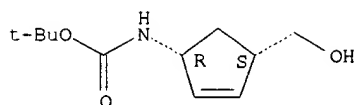
Absolute stereochemistry.



RN 168960-18-7 HCAPLUS
CN Carbamic acid, [(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

SEARCHED BY SUSAN HANLEY 305-4053

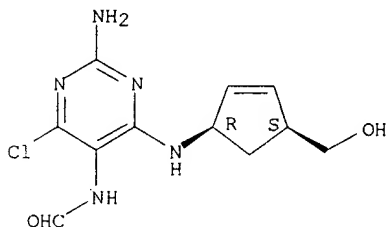
Absolute stereochemistry. Rotation (+).



RN 171887-04-0 HCAPLUS

CN Formamide, N-[2-amino-4-chloro-6-[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]amino]-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

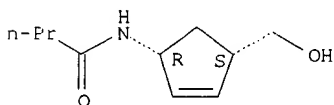
Absolute stereochemistry. Rotation (+).



RN 216481-85-5 HCAPLUS

CN Butanamide, N-[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



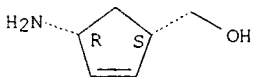
IT 136522-35-5P

RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(multistep process for the prepn. of (1S,4R)- and/or
(1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)

RN 136522-35-5 HCAPLUS

CN 2-Cyclopentene-1-methanol, 4-amino-, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



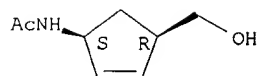
IT 216481-84-4P 216481-86-6P

RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(multistep process for the prepn. of (1S,4R)- and/or
(1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)

RN 216481-84-4 HCAPLUS

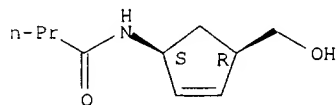
CN Acetamide, N-[(1S,4R)-4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

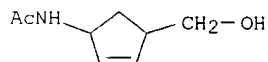


RN 216481-86-6 HCAPLUS
 CN Butanamide, N-[(1S,4R)-4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)

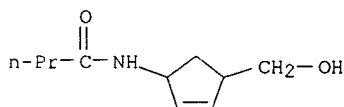
Absolute stereochemistry.



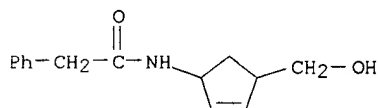
IT **199395-80-7P 199395-81-8P 199395-82-9P**
199395-84-1P 199395-85-2P 216481-83-3P
 RL: BPR (Biological process); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
 (multistep process for the prepn. of (1S,4R)- and/or (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
 RN 199395-80-7 HCAPLUS
 CN Acetamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)



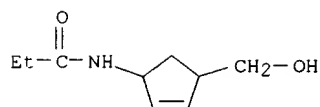
RN 199395-81-8 HCAPLUS
 CN Butanamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)



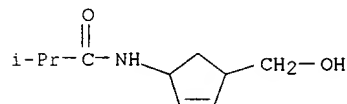
RN 199395-82-9 HCAPLUS
 CN Benzeneacetamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)



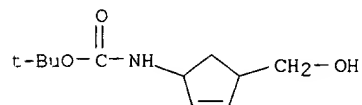
RN 199395-84-1 HCAPLUS
 CN Propanamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)



RN 199395-85-2 HCAPLUS
 CN Propanamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]-2-methyl- (9CI) (CA INDEX NAME)



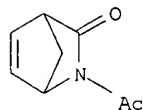
RN 216481-83-3 HCAPLUS
 CN Carbamic acid, [4-(hydroxymethyl)-2-cyclopenten-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



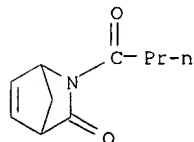
IT **9014-06-6**
 RL: CAT (Catalyst use); USES (Uses)
 (multistep process for the prepn. of (1S,4R)- and/or
 (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
 RN 9014-06-6 HCAPLUS
 CN Amidase, penicillin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

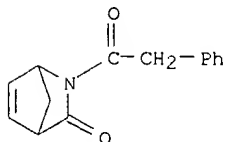
IT **162307-09-7P 199395-75-0P 199395-76-1P**
199395-77-2P 199395-78-3P 216481-82-2P
216481-87-7P
 RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN
 (Synthetic preparation); PREP (Preparation)
 (multistep process for the prepn. of (1S,4R)- and/or
 (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
 RN 162307-09-7 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(1-oxobutyl)- (9CI) (CA INDEX NAME)



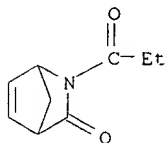
RN 199395-75-0 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(1-oxobutyl)- (9CI) (CA INDEX NAME)



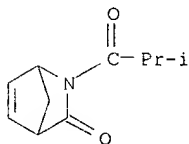
RN 199395-76-1 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(phenylacetyl)- (9CI) (CA INDEX NAME)



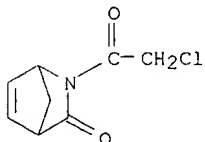
RN 199395-77-2 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(1-oxopropyl)- (9CI) (CA INDEX NAME)



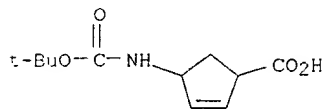
RN 199395-78-3 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)



RN 216481-82-2 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(chloroacetyl)- (9CI) (CA INDEX NAME)



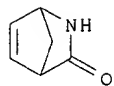
RN 216481-87-7 HCAPLUS
 CN 2-Cyclopentene-1-carboxylic acid, 4-[[{(1,1-dimethylethoxy)carbonyl}amino]- (9CI) (CA INDEX NAME)



IT **49805-30-3**, 2-Azabicyclo[2.2.1]hept-5-en-3-one
 RL: RCT (Reactant)
 (multistep process for the prepn. of (1S,4R)- and/or
 (1R,4S)-4-(2-amino-6-chloro-9-H-purin-9-yl)-2-cyclopentene-1-methanol)
 RN 49805-30-3 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one (9CI) (CA INDEX NAME)

SEARCHED BY SUSAN HANLEY 305-4053

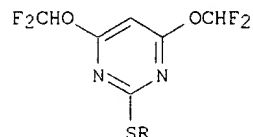
MELLER 09/198,427



=> d bib abs hitstr 119 7

L19 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1998:133616 HCAPLUS
 DN 128:140725
 TI Process for the preparation of 4,6-bis(difluoromethoxy)pyrimidine derivatives
 IN Naepfli, Andreas; Roduit, Jean-Paul; Wellig, Alain
 PA Lonza A.-G., Switz.
 SO Eur. Pat. Appl., 5 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 1

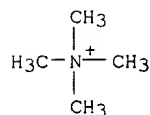
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 820992	A1	19980128	EP 1997-111345	19970704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2208734	AA	19980124	CA 1997-2208734	19970626
JP 10087635	A2	19980407	JP 1997-192189	19970717
PRAI CH 1996-1846		19960724		
OS CASREACT 128:140725; MARPAT 128:140725				
GI				



AB Title compds. I [R = alkyl, (un)substituted Ph, CH₂Ph] were prepd. by treating a **dihydroxypyrimidine** alkali metal salt with ClCHF₂ in presence of a phase transfer catalyst, preferably Me₄N⁺ Cl⁻ in a ketone solvent, preferably acetone. Thus, sodium methylthiobarbiturate was treated under pressure with ClCHF₂ in acetone in presence of Me₄N⁺ Cl⁻ and aq. NaOH to give 59% I [R = Me].

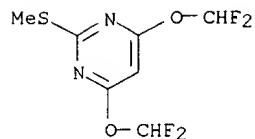
IT **75-57-0**, Tetramethylammonium chloride
 RL: CAT (Catalyst use); USES (Uses)
 (prepn. of 4,6-bis(difluoromethoxy)pyrimidines)

RN 75-57-0 HCAPLUS
 CN Methanaminium, N,N,N-trimethyl-, chloride (9CI) (CA INDEX NAME)

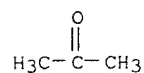
● Cl⁻

IT **100478-25-9P**, 4,6-Bis(difluoromethoxy)-2-methylthiopyrimidine
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of 4,6-bis(difluoromethoxy)pyrimidines)

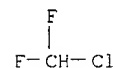
RN 100478-25-9 HCAPLUS
 CN Pyrimidine, 4,6-bis(difluoromethoxy)-2-(methylthio)- (9CI) (CA INDEX NAME)



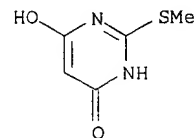
IT 67-64-1, Acetone, uses
 RL: NUU (Nonbiological use, unclassified); USES (Uses)
 (prepn. of 4,6-bis(difluoromethoxy)pyrimidines)
 RN 67-64-1 HCAPLUS
 CN 2-Propanone (9CI) (CA INDEX NAME)



IT 75-45-6, Chlorodifluoromethane 127697-72-7
 RL: RCT (Reactant)
 (prepn. of 4,6-bis(difluoromethoxy)pyrimidines)
 RN 75-45-6 HCAPLUS
 CN Methane, chlorodifluoro- (8CI, 9CI) (CA INDEX NAME)



RN 127697-72-7 HCAPLUS
 CN 4(1H)-Pyrimidinone, 6-hydroxy-2-(methylthio)-, disodium salt (9CI) (CA INDEX NAME)



●2 Na

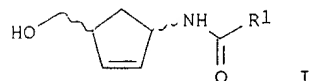
=> d bib abs hitstr 119 8

L19 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1997:805825 HCAPLUS
 DN 128:32314
 TI Process for the preparation of amino alcohols and derivatives thereof
 IN Bernegger-Egli, Christine; Birch, Olwen M.; Bossard, Pierre; **Brieden, Walter**; Brux, Frank; Burgdorf, Knut; Duc, Laurent; Etter, Kay-Sarah; Guggisberg, Ives; et al.
 PA Lonza A.-G., Switz.; Bernegger-Egli, Christine; Birch, Olwen M.; Bossard, Pierre; Brieden, Walter; Brux, Frank; Burgdorf, Knut; Duc, Laurent
 SO PCT Int. Appl., 68 pp.
 CODEN: PIXXD2

DT Patent
 LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745529	A1	19971204	WO 1997-EP2838	19970530
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2253977	AA	19971204	CA 1997-2253977	19970530
AU 9731705	A1	19980105	AU 1997-31705	19970530
EP 904348	A1	19990331	EP 1997-927092	19970530
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI			
CN 1220695	A	19990623	CN 1997-195182	19970530
JP 2000512488	T2	20000926	JP 1997-541630	19970530
PRAI CH 1996-1359		19960530		
CH 1997-282		19970210		
CH 1997-908		19970418		
WO 1997-EP2838		19970530		
OS MARPAT 128:32314				
GI				



AB The invention relates to novel microorganisms which are capable of utilizing cyclopentene derivs. of the general formula (I), in which R1 is C1-C4-alkyl, C1-C4-alkoxy, aryl or aryloxy, as the only N source, as the only C source or as the only C and O source. The invention also relates to novel enzymes which hydrolyze the cyclopentene derivs. of the general formula I. The invention also relates to a novel process for the prepn. of (1R,4S) or (1S,4R)-1-amino-4(hydroxymethyl)-2-cyclopentene and/or of a (1S,4R) or (1R,4S)-amino alc. deriv. in which R1 has the above meaning.

IT 9012-56-OP, Amidase

RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); CAT (Catalyst use); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)

(prepn. of amino alcs. and derivs. thereof from azabicycloheptenones and microbial metab. of the products)

RN 9012-56-0 HCAPLUS

CN Amidase (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 136522-30-OP 136522-35-5P

SEARCHED BY SUSAN HANLEY 305-4053

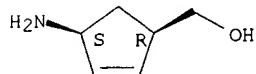
Page 23

RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of amino alcs. and derivs. thereof from azabicycloheptenones
and microbial metab. of the products)

RN 136522-30-0 HCAPLUS

CN 2-Cyclopentene-1-methanol, 4-amino-, (1R,4S)- (9CI) (CA INDEX NAME)

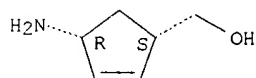
Absolute stereochemistry. Rotation (+).



RN 136522-35-5 HCAPLUS

CN 2-Cyclopentene-1-methanol, 4-amino-, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 199395-80-7P 199395-81-8P 199395-82-9P

199395-83-0P 199395-84-1P 199395-85-2P

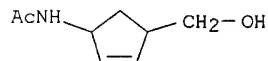
RL: BPR (Biological process); RCT (Reactant); SPN (Synthetic preparation);

BIOL (Biological study); PREP (Preparation); PROC (Process)

(prepn. of amino alcs. and derivs. thereof from azabicycloheptenones
and microbial metab. of the products)

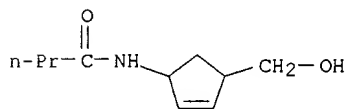
RN 199395-80-7 HCAPLUS

CN Acetamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)



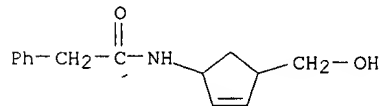
RN 199395-81-8 HCAPLUS

CN Butanamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)



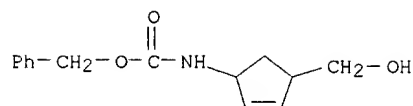
RN 199395-82-9 HCAPLUS

CN Benzeneacetamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)

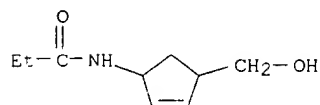


RN 199395-83-0 HCAPLUS

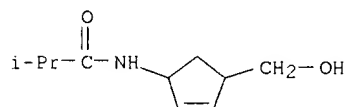
CN Carbamic acid, [4-(hydroxymethyl)-2-cyclopenten-1-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 199395-84-1 HCAPLUS
 CN Propanamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)

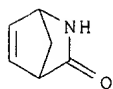


RN 199395-85-2 HCAPLUS
 CN Propanamide, N-[4-(hydroxymethyl)-2-cyclopenten-1-yl]-2-methyl- (9CI) (CA INDEX NAME)

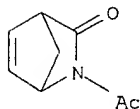


IT **9015-68-3**, Asparaginase
 RL: CAT (Catalyst use); USES (Uses)
 (prepn. of amino alcs. and derivs. thereof from azabicycloheptenones and microbial metab. of the products)
 RN 9015-68-3 HCAPLUS
 CN Asparaginase (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
 IT **49805-30-3**, 2-Azabicyclo[2.2.1]hept-5-en-3-one
 RL: RCT (Reactant)
 (prepn. of amino alcs. and derivs. thereof from azabicycloheptenones and microbial metab. of the products)
 RN 49805-30-3 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one (9CI) (CA INDEX NAME)

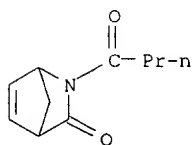


IT **162307-09-7P 199395-75-0P 199395-76-1P**
199395-77-2P 199395-78-3P 199395-79-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of amino alcs. and derivs. thereof from azabicycloheptenones and microbial metab. of the products)
 RN 162307-09-7 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-acetyl- (9CI) (CA INDEX NAME)



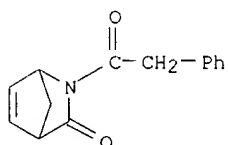
RN 199395-75-0 HCAPLUS

CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(1-oxobutyl)- (9CI) (CA INDEX NAME)



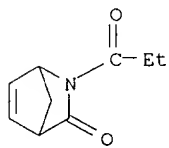
RN 199395-76-1 HCAPLUS

CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(phenylacetyl)- (9CI) (CA INDEX NAME)



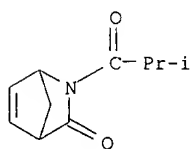
RN 199395-77-2 HCAPLUS

CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(1-oxopropyl)- (9CI) (CA INDEX NAME)



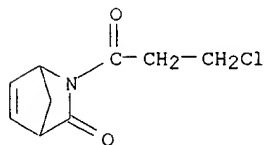
RN 199395-78-3 HCAPLUS

CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)



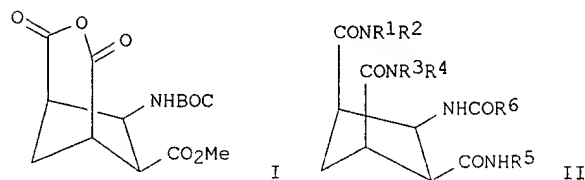
RN 199395-79-4 HCAPLUS

CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-(3-chloro-1-oxopropyl)- (9CI) (CA INDEX NAME)



=> d bib abs hitstr 176 1

L76 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1995:272286 HCAPLUS
 DN 122:132622
 TI All-cis cyclopentane scaffolding for combinatorial solid phase synthesis of small non-peptide compounds
 AU Patek, Marcel; Drake, Brian; Lebl, Michal
 CS Selectide Corporation, Tucson, AZ, 85737, USA
 SO Tetrahedron Lett. (1994), 35(49), 9169-72
 CODEN: TELEAY; ISSN: 0040-4039
 DT Journal
 LA English
 OS CASREACT 122:132622
 GI



AB A convenient synthesis of all-cis cyclopentane template I from com. available **anhydride** (3a.alpha.,4.beta.,7.beta.,7a.alpha.)-3a,4,7,7a-Tetrahydro-4,7-methanoisobenzofuran-1,3-dione was described. Regioselective conversion of the **anhydride** I to functionalized cyclopentanes II with a range of nucleophiles, as well as the regiochem. assignment of the major regioisomer were discussed.

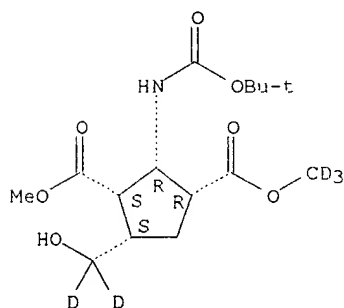
IT **160849-73-0P**

RL: SPN (Synthetic preparation); **PREP (Preparation)**
 (prepn. of)

RN 160849-73-0 HCAPLUS

CN 1,3-Cyclopentanedicarboxylic acid, 2-[[[(1,1-dimethylethoxy)carbonyl]amino]-4-(hydroxymethyl-d2)-, 3-methyl 1-(methyl-d3) ester, (1.alpha.,2.alpha.,3.alpha.,4.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **160849-76-3P**

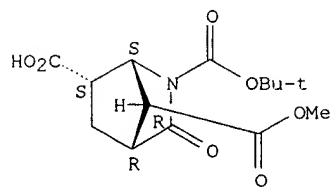
RL: BYP (Byproduct); **PREP (Preparation)**
 (prepn. of all-cis cyclopentane scaffold for solid phase synthesis of nonpeptides)

RN 160849-76-3 HCAPLUS

CN 2-Azabicyclo[2.2.1]heptane-2,6,7-tricarboxylic acid, 3-oxo-, 2-[(1,1-dimethylethyl) 7-methyl ester, (endo,syn)- (9CI) (CA INDEX NAME)

MELLER 09/198,427

Relative stereochemistry.



=> d bib abs hitstr 176 2

L76 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2000 ACS

AN 1994:434863 HCAPLUS

DN 121:34863

TI Five-step preparation of [1S(1.alpha.,2.beta.,4.beta.)]-4-amino-2-(hydroxymethyl)-1-cyclopentanol

IN Tapolczay, David Jozsef; Meerholz, Clive Alwin; Turnbull, John Peter; Halter, Bernard Charles; Schilling, Mark Brian

PA Glaxo Group Ltd., UK

SO PCT Int. Appl., 23 pp.

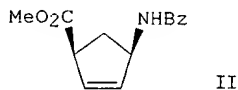
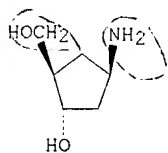
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9404486	A1	19940303	WO 1993-EP2219	19930819
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 655994	A1	19950607	EP 1993-919103	19930819
EP 655994	B1	19970618		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JF 08500583	T2	19960123	JF 1993-505904	19930819
AT 154589	E	19970715	AT 1993-919103	19930819
ES 2105312	T3	19971016	ES 1993-919103	19930819
US 5659075	A	19970819	US 1995-387834	19950406
PRAI GB 1992-17823		19920821		
WO 1993-EP2219		19930819		
OS MARPAT 121:34863				
GI				



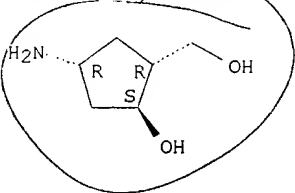
AB The title compd., I (or its salts), is prepd. by treating anilide II with a base, treating the intermediate with a Lewis acid (e.g., AlCl₃) followed by redn. with a **hydride** reducing agent [e.g., HAl(Bu-iso)₂], protecting the methylol intermediate, treating the protected intermediate with a hindered hydroborating agent (e.g., disiamylborane) capable of complexing to a tertiary amide followed by peroxide (e.g., H₂O₂) oxidn., and deprotecting the protected methylol intermediate.

IT **100018-56-2P 155750-92-8P**RL: SPN (Synthetic preparation); **PREP (Preparation)**
(5-step prepn. of)

RN 100018-56-2 HCAPLUS

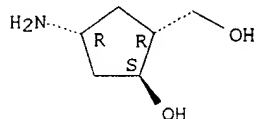
CN Cyclopentanemethanol, 4-amino-2-hydroxy-, (1R,2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 155750-92-8 HCAPLUS
 CN Cyclopentanemethanol, 4-amino-2-hydroxy-, hydrochloride,
 [1R-(1.alpha.,2.beta.,4.alpha.)]- (9CI) (CA INDEX NAME)

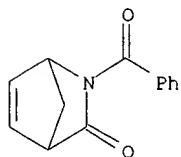
Absolute stereochemistry. Rotation (+).



● HCl

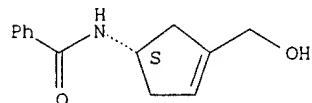
IT **61865-48-3P 155694-96-5P 155694-98-7P**
155750-91-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
(Preparation)
 (prepn. and reaction of, in prepn. of chiral
 amino(hydroxymethyl)cyclopentanol)

RN 61865-48-3 HCAPLUS
 RN 155694-96-5 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-benzoyl- (9CI) (CA INDEX NAME)



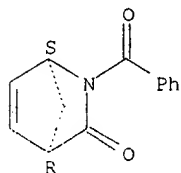
RN 155694-98-7 HCAPLUS
 CN Benzamide, N-[3-(hydroxymethyl)-3-cyclopenten-1-yl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 155750-91-7 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 2-benzoyl-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

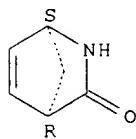


IT **130931-83-8**
 RL: RCT (Reactant)
 (reaction of, in prepn. of chiral amino(hydroxymethyl)cyclopentanol)
 RN 130931-83-8 HCAPLUS

MELLER 09/198,427

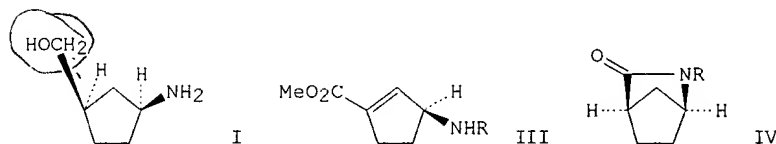
CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, (1S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



=> d bib abs hitstr 176 3

L76 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1993:449814 HCAPLUS
 DN 119:49814
 TI Chirospecific synthesis of (1S,3R)-1-amino-3-(hydroxymethyl)cyclopentane, precursor for carbocyclic nucleoside synthesis. Dieckmann cyclization with an .alpha.-amino acid
 AU Bergmeier, Stephen C.; Cobas, Agustin A.; Rapoport, Henry
 CS Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
 SO J. Org. Chem. (1993), 58(9), 2369-76
 CODEN: JOCEAH; ISSN: 0022-3263
 DT Journal
 LA English
 OS CASREACT 119:49814
 GI



AB A new method for the stereospecific synthesis of the title compd. (I) is reported. I is a key precursor for the synthesis of some carbocyclic nucleosides. The method involves (1) an improved synthesis of (S)-2-aminoadipic acid; (2) Dieckmann cyclization of this .alpha.-amino acid to an aminocyclopentanone; and (3) elaboration of the latter to the target I. The starting (S)-2-aminoadipic acid .delta.-Me ester (II) was prepd. enantiomerically pure from L-aspartic acid in 51% overall yield. Dieckmann condensation converted II to a (methoxycarbonyl)cyclopentanone, and redn. of the ketone followed by elimination yielded cyclopentenecarboxylate III (R = 9-phenyl-9-fluorenyl). Redn. of the double bond gave a mixt. of the cis and trans diastereomers, which was converted to a single diastereomer by epimerization and trapping of the cis isomer as the bicyclic lactam IV. Hydrolytic cleavage of IV followed by redn. gave I.

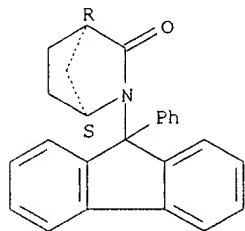
IT 147698-14-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and acidic ring opening of)

RN 147698-14-4 HCAPLUS

CN 2-Azabicyclo[2.2.1]heptan-3-one, 2-(9-phenyl-9H-fluoren-9-yl)-, (1S)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 147698-12-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and double bond redn. of, stereochem. of)

RN 147698-12-2 HCAPLUS

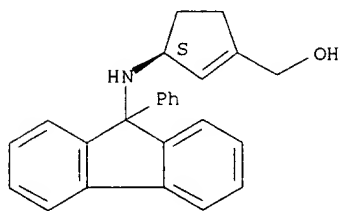
CN 1-Cyclopentene-1-methanol, 3-[(9-phenyl-9H-fluoren-9-yl)amino]-, (S)-

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MELLER 09/198,427

(9CI) (CA INDEX NAME)

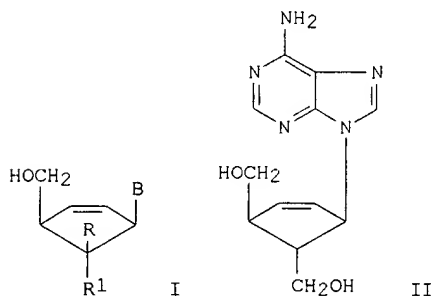
Absolute stereochemistry.



=> d bib abs hitstr 176 4

L76 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1993:234421 HCAPLUS
 DN 118:234421
 TI Cyclopentene derivatives and their use
 IN Kaneoko, Chikara; Katagiri, Nobuya; Tsuruo, Takashi
 PA Japanese Foundation for Cancer Research, Japan; Takeda Chemical Industries, Ltd.
 SO Can. Pat. Appl., 71 pp.
 CODEN: CPXXEB
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2055086	AA	19920813	CA 1991-2055086	19911106
	JP 06206880	A2	19940726	JP 1991-281745	19911028
	JP 05178746	A2	19930720	JP 1992-25536	19920212
	JP 06199812	A2	19940719	JP 1992-25535	19920212
PRAI	JP 1991-18913		19910212		
	JP 1991-18914		19910212		
	JP 1991-281745		19911028		
	JP 1991-281746		19911028		
OS	MARPAT 118:234421				
GI					

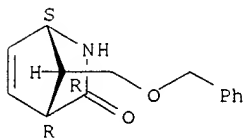


AB Nucleoside analogs I [B = purine or pyrimidine base; R = H, R₁ = (un)protected CH₂OH; R = (un)protected CH₂OH, R₁ = H] were prepd. Thus, the analog II was prepd. from cyclopentadienyllithium, ClCH₂OCH₂Ph, and 4-MeC₆H₄SO₂CN in 8 steps. II had an anti-HIV-1 ED₅₀ of 0.355 .mu.g/mL.

IT **147420-89-1P 147420-90-4P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction of, with chloroformate)

RN 147420-89-1 HCAPLUS
 RN 147420-90-4 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 7-[(phenylmethoxy)methyl]-, syn- (9CI)
 (CA INDEX NAME)

Relative stereochemistry.



IT **140440-42-2P 147420-91-5P 147420-92-6P**
147420-93-7P 147513-76-6P

SEARCHED BY SUSAN HANLEY 305-4053

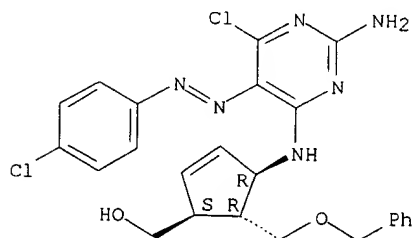
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
(Preparation)

(prepn. and redn. of)

RN 140440-42-2 HCAPLUS

CN 2-Cyclopentene-1-methanol, 4-[[2-amino-6-chloro-5-[(4-chlorophenyl)azo]-4-pyrimidinyl]amino]-5-[(phenylmethoxy)methyl]-, (1.alpha.,4.alpha.,5.beta.)-(9CI) (CA INDEX NAME)

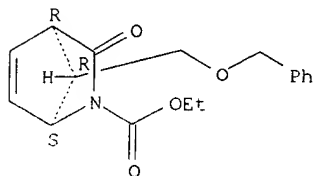
Relative stereochemistry.
Double bond geometry unknown.



RN 147420-91-5 HCAPLUS

CN 2-Azabicyclo[2.2.1]hept-5-ene-2-carboxylic acid, 3-oxo-7-[(phenylmethoxy)methyl]-, ethyl ester, syn- (9CI) (CA INDEX NAME)

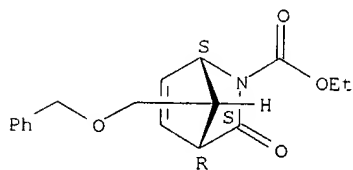
Relative stereochemistry.



RN 147420-92-6 HCAPLUS

CN 2-Azabicyclo[2.2.1]hept-5-ene-2-carboxylic acid, 3-oxo-7-[(phenylmethoxy)methyl]-, ethyl ester, anti- (9CI) (CA INDEX NAME)

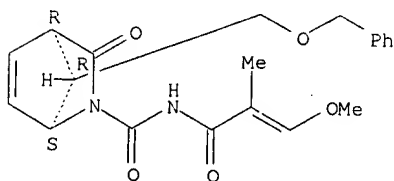
Relative stereochemistry.



RN 147420-93-7 HCAPLUS

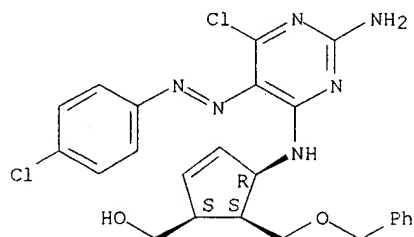
CN 2-Azabicyclo[2.2.1]hept-5-ene-2-carboxamide, N-(3-methoxy-2-methyl-1-oxo-2-propenyl)-3-oxo-7-[(phenylmethoxy)methyl]-, syn- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

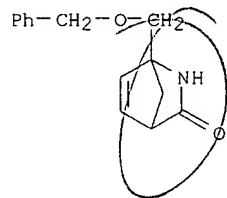


RN 147513-76-6 HCAPLUS
 CN 2-Cyclopentene-1-methanol, 4-[[2-amino-6-chloro-5-[(4-chlorophenyl)azo]-4-pyrimidinyl]amino]-5-[(phenylmethoxy)methyl]-,
 (1.alpha.,4.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
 Double bond geometry unknown.

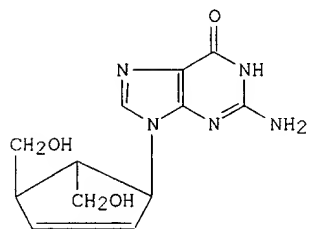


IT **147420-88-0P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 147420-88-0 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 1-[(phenylmethoxy)methyl]- (9CI) (CA
 INDEX NAME)



=> d bib abs hitstr 176 5

L76 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1992:255951 HCAPLUS
 DN 116:255951
 TI Synthesis and anti-HIV activity of 9-[c-4,*r*-5-bis(hydroxymethyl)cyclopent-2-en-*r*-1-yl]-9H-adenine
 AU Katagiri, Nobuya; Nomura, Masahiro; Sato, Hiroshi; Kaneko, Chikara; Yusa, Keisuke; Tsuruo, Takashi
 CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
 SO J. Med. Chem. (1992), 35(10), 1882-6
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA English
 GI



II

AB Title compd. (I) and its guanine analog II were prepd. and their anti-HIV activity was tested in vitro. Whereas II showed no activity, the therapeutic index of I was 200 and comparable to that (400) of carbovir. One enantiomer of I may be viewed as an analog of carbocyclic oxetanocin and the other as an analog of carbovir. Hence, these results indicate that one or both of the individual enantiomers of I could serve as candidates or lead compds. for the development of anti-AIDS agents.

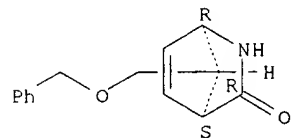
IT **140440-30-8**

RL: RCT (Reactant)
 (of prepn. and reaction of, with Et chloroformate)

RN 140440-30-8 HCAPLUS

CN 2-Azabicyclo[2.2.1]hept-5-en-3-one, 7-[(phenylmethoxy)methyl]-, anti-
 (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **140440-33-1P 140440-42-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
 (Preparation)

(prepn. and redn. of)

RN 140440-33-1 HCAPLUS

RN 140440-42-2 HCAPLUS

CN 2-Cyclopentene-1-methanol, 4-[[2-amino-6-chloro-5-[(4-chlorophenyl)azo]-4-pyrimidinyl]amino]-5-[(phenylmethoxy)methyl]-, (1.alpha.,4.alpha.,5.beta.)-
 (9CI) (CA INDEX NAME)

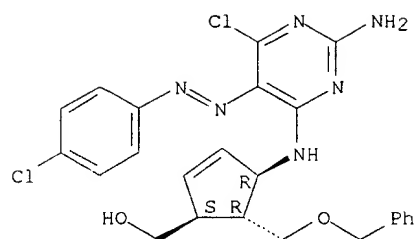
Relative stereochemistry.

Double bond geometry unknown.

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Page 11

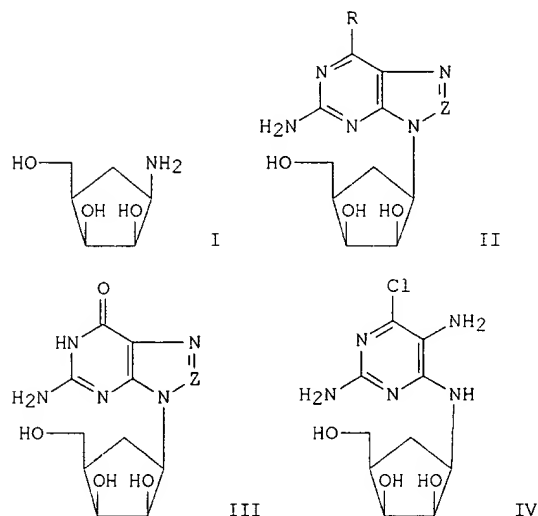
MELLER 09/198,427



IT **140440-31-9P 140440-32-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 140440-31-9 HCAPLUS
RN 140440-32-0 HCAPLUS

=> d bib abs hitstr 176 6

L76 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1990:139727 HCAPLUS
 DN 112:139727
 TI Synthesis and biological evaluation of carbocyclic analogs of
 lyxofuranosides of 2-amino-6-substituted purines and 2-amino-6-substituted-
 8-azapurines
 AU Peterson, Mark L.; Vince, Robert
 CS Coll. Pharm., Univ. Minnesota, Minneapolis, MN, 55455, USA
 SO J. Med. Chem. (1990), 33(4), 1214-19
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA English
 OS CASREACT 112:139727
 GI



AB Carbocyclic analogs of lyxofuranosides of 2-amino-6-substituted purines and 2-amino-6-substituted-8-azapurines were synthesized from (+-)-amino(hydroxymethyl)cyclopentane-1,2-diol I and 2-amino-4,6-dichloropyrimidine. The 2-amino-6-chloropurine (II; R = Cl, Z = CH, N), the 2,6-diaminopurine (II; R = NH₂, Z = CH, N), as well as the guanine (III; Z = CH) and 8-azaguanine (III; Z = N) derivs. were all constructed from the key intermediate (+-)-[(diaminochloropyrimidinyl)amino](hydroxymethyl)cyclopentane-1,2-diol (IV) by using established methodol. II and III were evaluated for both antitumor and antiviral activity. None of these materials exhibited appreciable activity against P-388 mouse leukemia cells in vitro. All of these analogs were investigated for activity vs. herpes simplex virus, type 1 (HSV-1) and influenza virus (IV-A), as well as the human immunodeficiency virus (HIV). Against HSV-1, only III (Z = CH), the carbocyclic analog of the lyxofuranoside of guanine, exhibited significant activity, yielding a virus rating (VR) of 2.1. The corresponding 2,6-diamino compd. II (R = NH₂, Z = CH) demonstrated marginal activity, VR = 0.6, against that virus. The test compds. failed to exhibit inhibition of either IV-A or HIV. Addnl. III (Z = CH) was tested against human cytomegalovirus (HCMV) and was found to display a definite activity at concns. as low as 32 .mu.M.

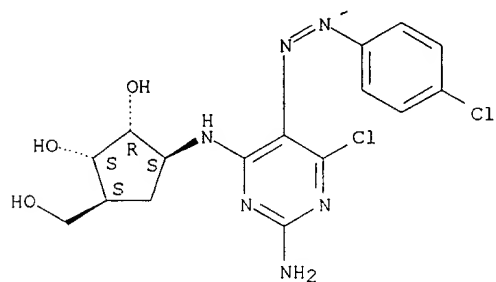
IT 50796-89-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

SEARCHED BY SUSAN HANLEY 305-4053

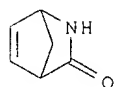
Page 13

(prepn. and **reductive** cleavage of)
 RN 50796-89-9 HCAPLUS
 CN 1,2-Cyclopentanediol, 3-[[2-amino-6-chloro-5-[(4-chlorophenyl)azo]-4-pyrimidinyl]amino]-5-(hydroxymethyl)-, (1.alpha.,2.alpha.,3.beta.,5.beta.)-(9CI) (CA INDEX NAME)

Relative stereochemistry.
 Double bond geometry unknown.



IT **49805-30-3**, 2-Azabicyclo[2.2.1]hept-5-en-3-one
 RL: RCT (Reactant)
 (synthon for lyxofuranoside analogs of nucleosides)
 RN 49805-30-3 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one (9CI) (CA INDEX NAME)

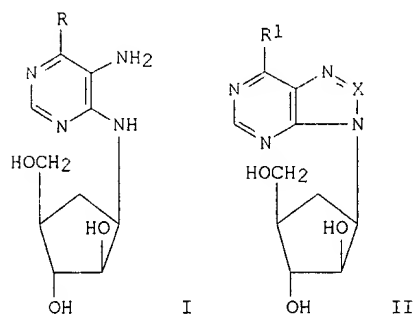


=> d bib abs hitstr 176 7

L76 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1979:168938 HCAPLUS
 DN 90:168938
 TI Adenosine deaminase-resistant antiviral purine nucleosides
 IN Vince, Robert
 PA University of Minnesota, USA
 SO U.S., 6 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 3

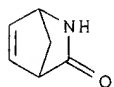
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4138562	A	19790206	US 1977-766947	19770209
	US 4223156	A	19800916	US 1978-972469	19781222
	US 4268672	A	19810519	US 1979-1072	19790105
	US 4383114	A	19830510	US 1981-301399	19810911
PRAI	US 1977-766947		19770209		
	US 1979-1072		19790105		
	US 1980-181382		19800822		

GI



AB Cyclopentylaminopyrimidines I (R = halo) were prepd. as intermediates for the synthesis of nucleoside analogs II (R1 = NH2, SH, SMe, OH, halo, or NR2R3; R2, R3 = H, Me, Et, Pr, Ph; X = CH, N). Thus, (+)-4.alpha.-amino-2.beta.,3.alpha.-dihydroxy-1.alpha.-cyclopentanemethanol, prepd. in 5 steps from 2-azabicyclo[2.2.1]hept-5-en-3-one, was treated with 5-amino-4,6-dichloropyrimidine to give I (R = Cl), which was cyclized with CH(OEt)3 and the resultant purine was treated with NH3 to give II (R1 = NH2; X = CH) (III). II are useful as antiviral and antitumor agents, e.g., III had virus rating of 1.5-3.5 and MED50 .apprx.9 .mu.g/mL against Herpes simplex virus type 1 and vaccinia virus.

IT **49805-30-3**
 RL: RCT (Reactant)
 (hydrolysis of)
 RN 49805-30-3 HCAPLUS
 CN 2-Azabicyclo[2.2.1]hept-5-en-3-one (9CI) (CA INDEX NAME)



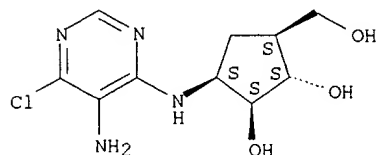
IT **62413-50-7P**
 RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
 (Preparation)

SEARCHED BY SUSAN HANLEY 305-4053

Page 15

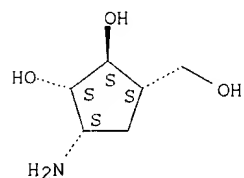
(prepn. and cyclization of, nucleoside analogs from)
 RN 62413-50-7 HCAPLUS
 CN 1,2-Cyclopentanediol, 3-[(5-amino-6-chloro-4-pyrimidinyl)amino]-5-(hydroxymethyl)-, (1.alpha.,2.beta.,3.beta.,5.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



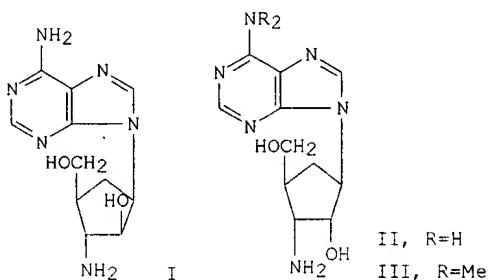
IT 69979-44-8P
 RL: RCT (Reactant); SFN (Synthetic preparation); **PREP**
 (Preparation)
 (prepn. and reaction of, with aminodichloropyrimidine)
 RN 69979-44-8 HCAPLUS
 CN 1,2-Cyclopentanediol, 3-amino-5-(hydroxymethyl)-, [1S-(1.alpha.,2.beta.,3.beta.,5.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



=> d bib abs hitstr 176 8

L76 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 1978:424684 HCAPLUS
 DN 89:24684
 TI Synthesis of carbocyclic aminonucleosides
 AU Daluge, Susan; Vince, Robert
 CS Coll. Pharm., Univ. Minnesota, Minneapolis, Minn., USA
 SO J. Org. Chem. (1978), 43(12), 2311-20
 CODEN: JOCEAH; ISSN: 0022-3263
 DT Journal
 LA English
 GI



AB Racemic carbocyclic nucleosides I, II, and III were prepd. Acidic hydrolysis of 2-azabicyclo[2.2.1]hept-5-en-3-one, followed by esterification and acetylation, gave Me cis-4-acetamidocyclopent-2-ene-1-carboxylate, which on redn. with calcium **borohydride** gave, after acetylation, cis-4-acetamidocyclopent-2-ene-1-Me acetate (IV). Epoxidn. of IV gave only the cis-epoxide, which was opened with NaN₃ to give, after acetylation, 4.alpha.-acetamido-3.alpha.-acetoxy-2.beta.-azido-1.alpha.-cyclopentanemethyl acetate, which on catalytic hydrogenation followed by acetylation, gave 3.alpha.-acetoxy-2.beta.,4.alpha.-diacetamido-1.alpha.-cyclopentanemethyl acetate (V). Selective hydrolysis of the 4-acetamido group of V and formation of the purine moiety at this position, followed by hydrolysis of the remaining acetamido group, gave I. Epimerization at C-2' gave access to II and III. In vitro screening indicates that II has significant antiviral activity.

IT **61865-48-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and acid hydrolysis of)

RN 61865-48-3 HCAPLUS

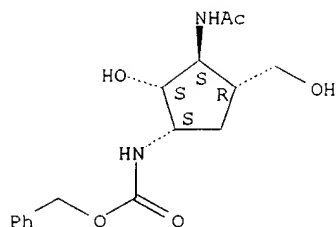
IT **61865-63-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
(Preparation)
 (prepn. and cyclization of)

RN 61865-63-2 HCAPLUS

CN Carbamic acid, [3-(acetylamino)-2-hydroxy-4-(hydroxymethyl)cyclopentyl]-, phenylmethyl ester, (1.alpha.,2.alpha.,3.beta.,4.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

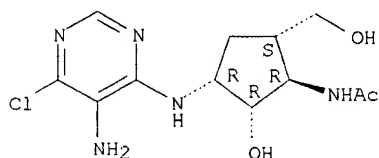
IT **61865-56-3P**RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
(Preparation)

(prepn. and cyclization of, with diethoxymethyl acetate)

RN 61865-56-3 HCAPLUS

CN Acetamide, N-[3-[(5-amino-6-chloro-4-pyrimidinyl)amino]-2-hydroxy-5-(hydroxymethyl)cyclopentyl]-, (1.alpha.,2.beta.,3.beta.,5.beta.)- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

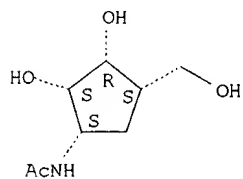
IT **65941-41-5P**RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
(Preparation)

(prepn. and oxidn. of)

RN 65941-41-5 HCAPLUS

CN Acetamide, N-[2,3-dihydroxy-4-(hydroxymethyl)cyclopentyl]-, (1.alpha.,2.alpha.,3.alpha.,4.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

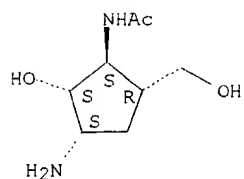
IT **61865-55-2P**RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
(Preparation)

(prepn. and reaction of, with carbobenzoxy chloride)

RN 61865-55-2 HCAPLUS

CN Acetamide, N-[3-amino-2-hydroxy-5-(hydroxymethyl)cyclopentyl]-, (1.alpha.,2.beta.,3.beta.,5.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 61865-67-6P

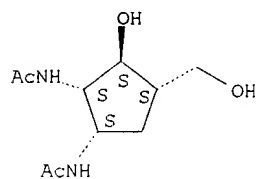
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**

(prepn. and reaction of, with methoxytrityl chloride)

RN 61865-67-6 HCAPLUS

CN Acetamide, N,N'-[3-hydroxy-4-(hydroxymethyl)-1,2-cyclopentanediyl]bis-, (1.alpha.,2.alpha.,3.beta.,4.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



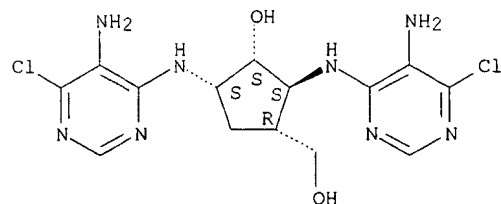
IT 65898-95-5P 65941-42-6P

RL: SPN (Synthetic preparation); **PREP (Preparation)**
(prepn. of)

RN 65898-95-5 HCAPLUS

CN Cyclopentanemethanol, 2,4-bis[(5-amino-6-chloro-4-pyrimidinyl)amino]-3-hydroxy-, (1.alpha.,2.beta.,3.alpha.,4.alpha.)- (9CI) (CA INDEX NAME)

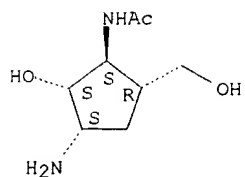
Relative stereochemistry.



RN 65941-42-6 HCAPLUS

CN Acetamide, N-[3-amino-2-hydroxy-5-(hydroxymethyl)cyclopentyl]-, monohydrochloride, (1.alpha.,2.beta.,3.beta.,5.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

IT 65898-97-7P 65942-42-9P

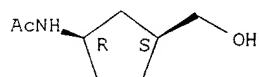
RL: RCT (Reactant); SPN (Synthetic preparation); **PREP**
(Preparation)

(prepn., acetylation, and benzylation of)

RN 65898-97-7 HCAPLUS

CN Acetamide, N-(3-(hydroxymethyl)cyclopentyl)-, cis- (9CI) (CA INDEX NAME)

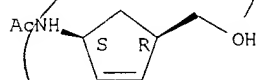
Relative stereochemistry.



RN 65942-42-9 HCAPLUS

CN Acetamide, N-[(1R,4S)-4-(hydroxymethyl)-2-cyclopenten-1-yl]-, rel- (9CI)
(CA INDEX NAME)

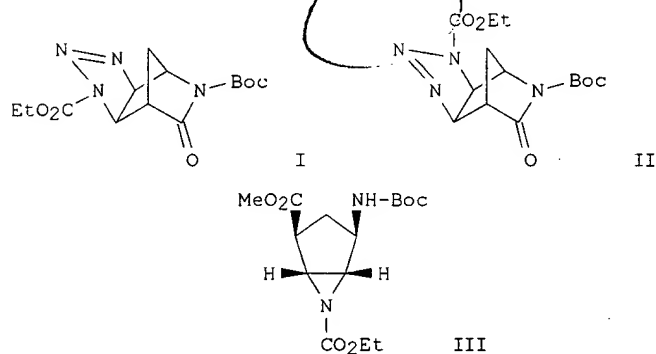
Relative stereochemistry



Nothing 102
 maybe 103

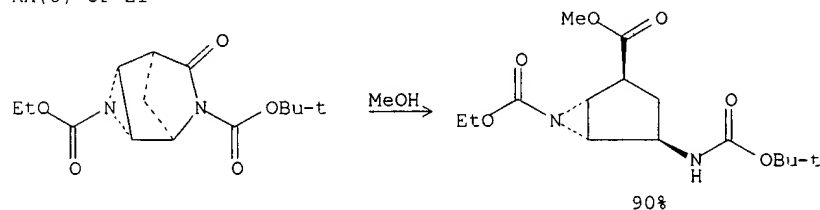
=> d bib abs fcrdref 134 1

L34 ANSWER 1 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 133:252263 CASREACT
 TI A concise access to 6-azabicyclo[3.1.0]hexanes via high-pressure promoted
 cycloaddition reaction of azides to ABH
 AU Ishikura, Minoru; Kudo, Sayoko; Hino, Ayako; Ohnuki, Nobuyuki; Katagiri,
 Nobuya
 CS Faculty of Pharmaceutical Sciences, Health Sciences University of
 Hokkaido, Hokkaido, 061-0293, Japan
 SO Heterocycles (2000), 53(7), 1499-1504
 CODEN: HTCYAM; ISSN: 0385-5414
 PB Japan Institute of Heterocyclic Chemistry
 DT Journal
 LA English
 GI



AB Cycloaddn. reaction of electron-deficient azides, e.g. EtO₂CN₃, to
 2-azabicyclo[2.2.1]hept-5-en-3-ones (ABH) was accelerated by
 high-pressure, leading to mixts. of regioisomeric triazolines, e.g. I and
 II, in good yields. These triazolines were, through photolysis and ring
 opening sequences, converted to 6-azabicyclo[3.1.0]hexanes, e.g. III.

RX(8) OF 21



REF: Heterocycles, 53(7), 1499-1504; 2000

OF 22 CASREACT COPYRIGHT 2000 ACS
 RE.CNT 16

RE

- (1) Altmann, K; Tetrahedron Lett 1994, V35, P2331 CAPLUS
- (2) Altmann, K; Tetrahedron Lett 1994, V35, P7625 CAPLUS
- (3) Anderson, G; J Org Chem 1991, V56, P6946 CAPLUS
- (4) Chang, H; J Org Chem 1994, V59, P5336 CAPLUS
- (5) Chun, B; J Org Chem 2000, V65, P685 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

MELLER 09/198,427

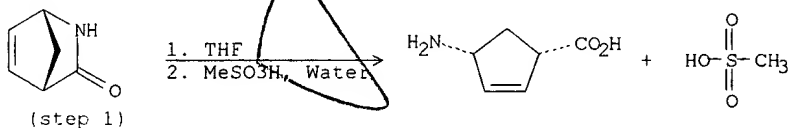
SEARCHED BY SUSAN HANLEY 305-4053

Page 2

=> d bib abs fcrdref 134 2

L34 ANSWER 2 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 132:334717 CASREACT
 TI An efficient, scalable synthesis of the HIV reverse transcriptase inhibitor ziagen (1592U89)
 AU Daluge, Susan M.; Martin, Michael T.; Sickles, Barry R.; Livingston, Douglas A.
 CS Division of Medicinal Chemistry, Glaxo Wellcome Inc., Research Triangle Park, NC, 27709, USA
 SO Nucleosides, Nucleotides Nucleic Acids (2000), 19(1 & 2), 297-327
 CODEN: NNNAFY; ISSN: 1525-7770
 PB Marcel Dekker, Inc.
 DT Journal
 LA English
 AB Ziagen, (1S,cis)-4-[2-amino-6-(cyclopropylamino)-9H-purin-9-yl]-2-cyclopentene-1-methanol, was synthesized from (1S,4R)-azabicyclo[2.2.1]hept-5-en-3-one by efficient processes which bypass problematic steps in earlier routes. 2-Amino-4,6-dichloro-5-formamidopyrimidine is a key intermediate which makes possible an efficient construction of the purine from a chiral cyclopentenyl precursor.

RX(1) OF 179



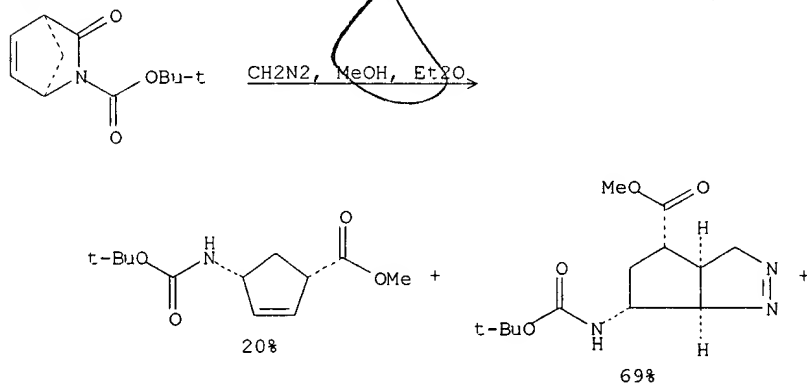
REF: Nucleosides, Nucleotides Nucleic Acids, 19(1 & 2), 297-327; 2000

OF 22 CASREACT COPYRIGHT 2000 ACS
 RE.CNT 53
 RE
 (1) Agrofoglio, L; Tetrahedron 1994, V50, P10611 CAPLUS
 (10) Crimmins, M; J Org Chem 1996, V61, P4192 CAPLUS
 (11) Crimmins, M; Tetrahedron 1998, V54, P9229 CAPLUS
 (12) Daluge, S; EP 349242 1990 CAPLUS
 (13) Daluge, S; US 5206435 1993 CAPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

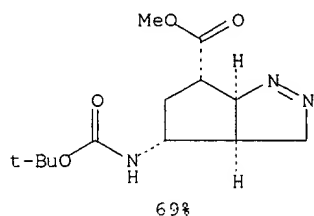
=> d bib abs fcrdref 134 3

L34 ANSWER 3 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 132:279439 CASREACT
 TI Synthesis of carbocyclic nucleosides bearing a cyclopropane ring
 AU Yamatoya, Yoshitsugu; Ishikura, Minoru; Katagiri, Nobuya
 CS Faculty of Pharmaceutical Sciences, Health Sciences University of
 Hokkaido, Hokkaido, 061-0293, Japan
 SO Nucleic Acids Symp. Ser. (1999), 42(Twenty-sixth Symposium on Nucleic Acids
 Chemistry, 1999), 23-24
 CODEN: NACSD8; ISSN: 0251-3166
 PB Oxford University Press
 DT Journal
 LA English
 AB A carbocyclic cyclopropane fused nucleoside, 9-(c-4-hydroxy-
 methylbicyclo[3.1.0]hex-r-2-yl)-9H-adenine, has been efficiently
 synthesized from 2-azabicyclo-[2.2.1]hex-5-en-3-one (ABH) in 6 steps,
 namely cyclopropanation, reductive amide cleavage (RAC) reaction and
 adenine ring construction.

RX(1) OF 9



RX(1) OF 9



REF: Nucleic Acids Symp. Ser., 42(Twenty-sixth Symposium on Nucleic
 Acids Chemistry, 1999), 23-24; 1999

OF 22 CASREACT COPYRIGHT 2000 ACS

RE.CNT 6

RE

- (1) Altmann, K; Tetrahedron Lett 1994, V35, P2331 CAPLUS
 - (2) Altmann, K; Tetrahedron Lett 1994, V35, P7625 CAPLUS
 - (3) Chang, H; J Org Chem 1994, V59, P5336 CAPLUS
 - (4) Daluge, S; Antimicrob Agents Chemother 1997, V41, P1082 CAPLUS
 - (5) Katagiri, N; Tetrahedron Lett 1989, V30, P1645 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

MELLER 09/198,427

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Page 5

=> d bib abs fcrdref 134 4

L34 ANSWER 4 OF 22 CASREACT COPYRIGHT 2000 ACS

AN 130:208877 CASREACT

TI Process for preparing enantiomerically enriched N-derivatized lactams

IN Dawson, Michael John; Mahmoudian, Mahmoud; Wallis, Christopher John

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 20 pp.

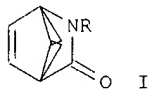
CODEN: PIXXD2

DT Patent

LA English

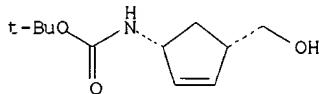
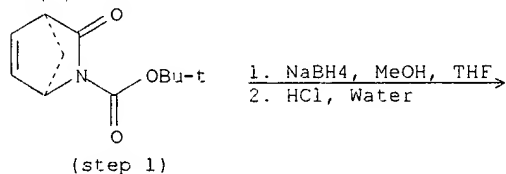
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FI WO 9910519	A1	19990304	WO 1998-EP5291	19980820
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RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9897386	A1	19990316	AU 1998-97386	19980820
EP 1003903	A1	20000531	EP 1998-951307	19980820
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 9810472	A	20000919	BR 1998-10472	19980820
NO 9906368	A	20000221	NO 1999-6368	19991221
PRAI GB 1997-17928		19970822		
WO 1998-EP5291		19980820		
OS MARPAT 130:208877				
GI				



AB The present invention relates to a process for the prodn. of substantially enantiomerically pure intermediates of formula (I), wherein P is an activating and protecting group, from their racemates by treating the mixt. with an acylase enzyme derived from *Bacillus* sp.

RX(1) OF 4



REF: PCT Int. Appl., 9910519, 04 Mar 1999

OF 22 CASREACT COPYRIGHT 2000 ACS

RE.CNT 5

RE

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Page 6

MELLER 09/198,427

- (1) Chirox Ltd; WO 9218477 A 1992 CAPLUS
- (2) Enzymatix Ltd; EP 0424064 A 1991 CAPLUS
- (3) Evans, C; J Chem Soc Perkin Trans I 1992, 5, P589 CAPLUS
- (4) Nakano, H; Tetrahedron: Asymmetry 1994, V5(7), P1155 CAPLUS
- (5) Nakano, H; Tetrahedron: Asymmetry 1996, V7(8), P2381 CAPLUS

=> d bib abs fcrdref 134 5

L34 ANSWER 5 OF 22 CASREACT COPYRIGHT 2000 ACS

AN 130:196592 CASREACT

TI Selective Intermolecular Photo-[4+4]-cycloaddition with 2-Pyridone Mixtures. 3. Synthetic Transformations of the Trans Cross-Product (1.alpha.,2.beta.,5.beta.,6.alpha.)-3-Butyl-9-methoxy-3,7-diazatricyclo[4.2.2.2.2,5]dodeca-9,11-diene-4,8-dione

AU Sieburth, Scott McN.; Rucando, David; Lin, Chao-Hsiung

CS Department of Chemistry, State University of New York, Stony Brook, NY, 11794-3400, USA

SO J. Org. Chem. (1999), 64(3), 954-959

CODEN: JOCEAH; ISSN: 0022-3263

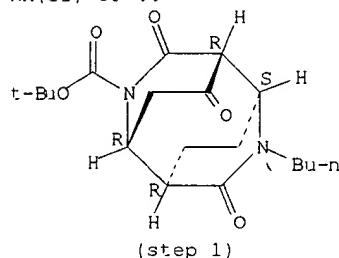
PB American Chemical Society

DT Journal

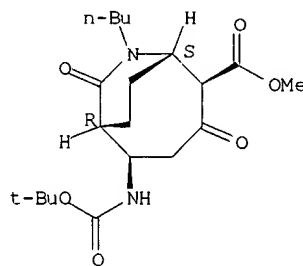
LA English

AB Transformations of a tricyclic product derived from [4+4] photocycloaddn. of N-butyl-2-pyridone with 4-methoxy-2-pyridone has demonstrated, for the first time, facile opening of the secondary lactam after activation of the amide nitrogen with a tert-Bu carboxylate (Boc) group. Methanolysis and lithium borohydride redn. both result in opening of the amide group under very mild conditions to ring-opened products. Concomitant redn. of a ketone derived from hydrolysis of the enol ether sets an addnl. stereogenic center in the reaction product with complete stereogenic control. These reactions illustrate the synthetic potential of the 2-pyridone photocycloaddn. products, generating a cyclooctene as a single isomer, with functionality at seven carbons and five stereogenic centers.

RX(11) OF 44



1. MeOH, K₂CO₃
2. NH₄Cl, Water



stereoisomers

76%

REF: J. Org. Chem., 64(3), 954-959; 1999

NOTE: stereoselective

OF 22 CASREACT COPYRIGHT 2000 ACS

RE.CNT 11

RE

(1) Flynn, D; J Org Chem 1983, V48, P2424 CAPLUS

(2) Frimer, A; Synthesis 1977, P578 CAPLUS

(5) Sieburth, S; J Am Chem Soc 1991, V113, P8163 CAPLUS

(6) Sieburth, S; J Am Chem Soc 1996, V118, P10803 CAPLUS

(7) Sieburth, S; J Org Chem 1994, V59, P80 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

SEARCHED BY SUSAN HANLEY 305-4053

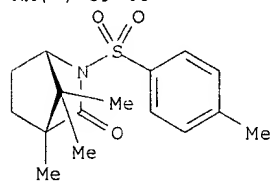
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MELLER 09/198,427

=> d bib abs fcrdref 134 6

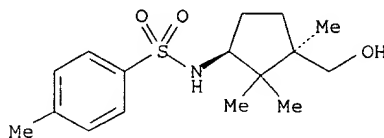
L34 ANSWER 6 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 128:204622 CASREACT
 TI Synthesis of (1R,3S)-3-amino-1,2,2-trimethylcyclopentylmethanol
 AU Blanco, Jose M.; Caamano, Olga; Fernandez, Franco; Garcia-Mera, Xerardo;
 Rodriguez, Jose E.
 CS Departamento de Quimica Organica, Facultad de Farmacia, Universidad de
 Santiago de Compostela, Santiago de Compostela, E-15706, Spain
 SO Org. Prep. Proced. Int. (1998), 30(1), 71-78
 CODEN: OPPIAK; ISSN: 0030-4948
 PB Organic Preparations and Procedures, Inc.
 DT Journal
 LA English
 AB The asym. synthesis of the title compd. was accomplished starting from
 D-(+)-camphoric anhydride via the corresponding camphoramic acid as chiral
 intermediate.

RX(7) OF 40



(step 1)

1. LiBH₄, THF
 2. Water, Et₂O



74%

REF: Org. Prep. Proced. Int., 30(1), 71-78; 1998

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref 134 7

L34 ANSWER 7 OF 22 CASREACT COPYRIGHT 2000 ACS

AN 126:293559 CASREACT

TI Synthesis of nucleosides and their related compounds. L. A highly efficient synthesis of the antiviral agent (+)-cyclaradine involving the regioselective cleavage of epoxide by neighboring participation

AU Katagiri, Nobuya; Matsushashi, Yumiko; Kokufuda, Hideaki; Takebayashi, Masahiro; Kaneko, Chikara

CS Pharmaceutical Inst., Tohoku Univ., Sendai, 980-77, Japan

SO Tetrahedron Lett. (1997), 38(11), 1961-1964

CODEN: TELEAY; ISSN: 0040-4039

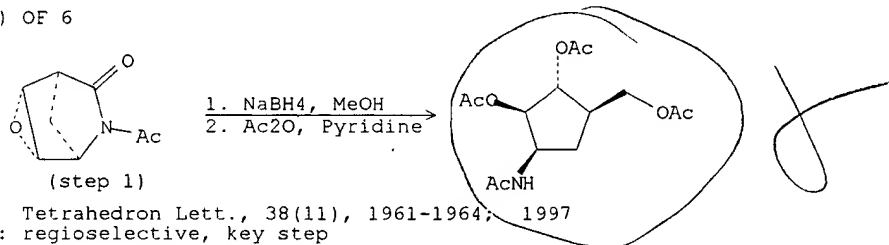
PB Elsevier

DT Journal

LA English

AB (+)-Cyclaradine, carbocyclic arabinofuranosyladenine having anti-HSV activity, has been synthesized from (-)-2-azabicyclo[2.2.1]hept-5-en-3-one in only seven steps. The method involves the novel ring cleavage of epoxide by neighboring participation.

RX(1) OF 6



REF: Tetrahedron Lett., 38(11), 1961-1964; 1997

NOTE: regioselective, key step

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref 134 8

L34 ANSWER 8 OF 22 CASREACT COPYRIGHT 2000 ACS

AN 123:313409 CASREACT

TI Improved procedures for the prepn. of (+)-(1R,2S,4R)-4-amino-2-hydroxy-1-hydroxymethylcyclopentane

AU Bray, Brian L.; Dolan, Simon C.; Halter, Bernard; Lackey, J. William; Schilling, Mark B.; Tapolczay, David J.

CS Synth. Org. Chem. Dep., Glaxo Res. Inc., Research Triangle Park, NC, 27709, USA

SO Tetrahedron Lett. (1995), 36(25), 4483-6

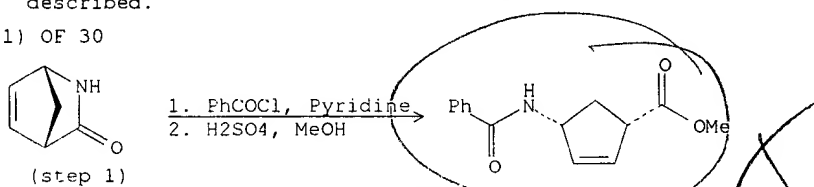
CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

AB Two methods for the stereospecific synthesis of the title compd. are described.

RX(1) OF 30



REF: Tetrahedron Lett., 36(25), 4483-6; 1995

NOTE: stereoselective

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref 134 9

102

L34 ANSWER 9 OF 22 CASREACT COPYRIGHT 2000 ACS

AN 123:199283 CASREACT

TI Synthesis of carbocyclic nucleosides from 2-azabicyclo[2.2.1]hept-5-en-3-ones: sodium borohydride mediated carbon-nitrogen bond cleavage of five- and six-membered lactams

AU Katagiri, Nobuya; Muto, Makoto; Nomura, Masahiro; Higashikawa, Tohru; Kaneko, Chikara

CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan

SO Chem. Pharm. Bull. (1991), 39(5), 1112-22

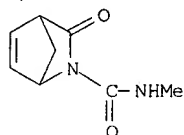
CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

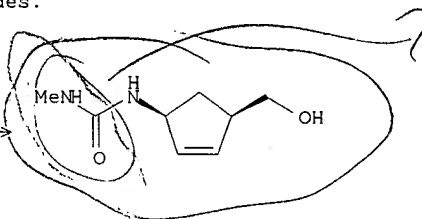
AB Various carbocyclic ribofuranosyl nucleosides were stereoselectively synthesized through a small no. of steps from 2-azabicyclo[2.2.1]hept-5-en-3-ones by the use of sodium borohydride-mediated C-N bond cleavage as a key step. Ready availability of a novel synthetic precursor, ((+)-)-4.beta.-hydroxymethyl-1.beta.-ureidocyclopentane-2.alpha.,3.alpha.-diol ((+)-)-carbocyclic ribofuranosylurea], provides not only facile routes to carbocyclic ribofuranosylpyrimidines, but also another route to the corresponding cyclopentylamine, ((+)-)-1.beta.-amino-4.beta.-hydroxymethylcyclopentane-2.alpha.,3.alpha.-diol ((+)-)-carbocyclic ribofuranosylamine], which is useful for the synthesis of the corresponding purine nucleosides.

RX(6) OF 69



(step 1)

1. NaBH₄, MeOH
2. AcOH, MeOH
3. AcOEt



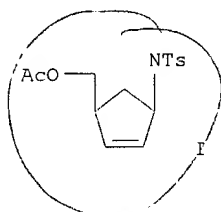
REF: Chem. Pharm. Bull., 39(5), 1112-22; 1991

NOTE: STEREoselective

OF 22 CASREACT COPYRIGHT 2000 ACS

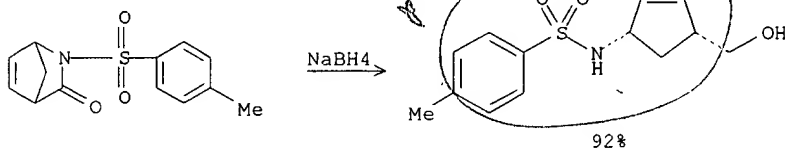
=> d bib abs fcdref 134 10

L34 ANSWER 10 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 121:231246 CASREACT
 TI .pi.-Allylpalladium Formation from Allylic Amines via N,N-Ditosylimides
 and N-Tosylamides: Efficient Synthesis of the Antiviral Agent Carbovir
 AU Jung, Michael E.; Rhee, Hakjune
 CS Department of Chemistry and Biochemistry, University of California, Los
 Angeles, CA, 90024, USA
 SO J. Org. Chem. (1994), 59(17), 4719-20
 CODEN: JOCEAH; ISSN: 0022-3263
 DT Journal
 LA English
 GI



AB Allylic amines can be easily converted into their N,N-ditosylimides, e.g. I, or N-tosylamides which are sufficiently good leaving groups to afford .pi.-allylpalladium complexes and, hence, with nucleophiles, new allylic systems with retention of configuration. The synthetic utility of this process has been demonstrated by an efficient synthesis of the antiviral agent (+-)-carbovir from cyclopentadiene in only seven steps and 13% overall yield.

RX(3) OF 20

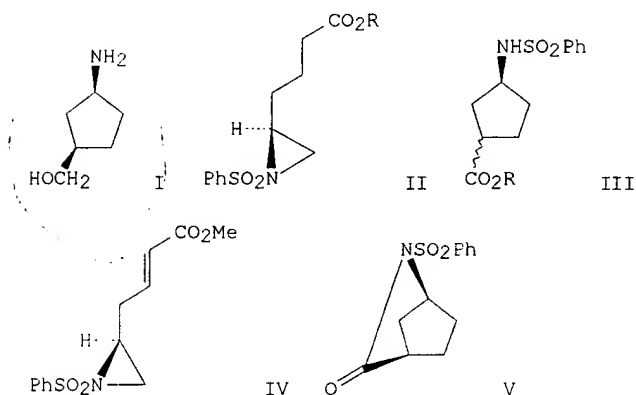


REF: J. Org. Chem., 59(17), 4719-20; 1994

OF 22 CASREACT COPYRIGHT 2000 ACS

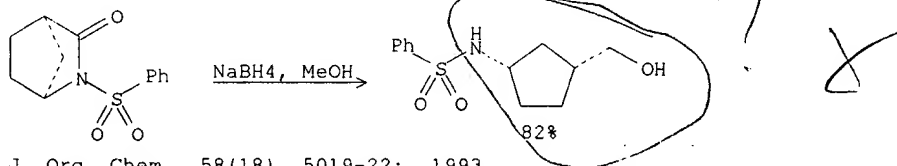
=> d bib abs fcdref 134 11

L34 ANSWER 11 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 119:271547 CASREACT
 TI Chirospecific synthesis of (1S,3R)-1-amino-3-(hydroxymethyl)cyclopentane, a precursor for carbocyclic nucleoside synthesis. Intramolecular aziridine cyclizations
 AU Bergmeier, Stephen C.; Lee, Won Koo; Rapoport, Henry
 CS Dep. of Chem., Univ. California, Berkeley, CA, 94720, USA
 SO J. Org. Chem. (1993), 58(18), 5019-22
 CODEN: JOCEAH; ISSN: 0022-3263
 DT Journal
 LA English
 GI



AB Carbocyclic nucleosides are important isosteres of nucleosides that possess a variety of antiviral and antineoplastic activities. A new method is reported for the chirospecific synthesis of (1S,3R)-1-amino-3-hydroxymethylcyclopentane (I), a key precursor for the synthesis of some carbocyclic nucleosides. The method involves (1) the conversion of (S)-aspartic acid to aziridinoester II (R = Me, CMe₃), (2) anionic cyclization of the ester onto the aziridine ring to form cyclopentane III, and (3) elaboration of the cyclopentane to the target (I). Also investigated was the deconjugative cyclization of an α,β -unsatd. ester onto an aziridine (IV); however, this gave only diene. Aspartic acid was converted to (S)-tert-Bu N-(benzenesulfonyl)-5,6-aziridinohexanoate (II; R = CMe₃) in 6 steps. Treatment of this aziridine with an amide base caused cyclization to a mixt. of cis and trans isomers III. This mixt. was converted to a single diastereomer by epimerization and trapping of the cis isomer as (1S,4R)-N-(benzenesulfonyl)-2-azabicyclo[2.2.1]heptan-3-one (V). Reductive cleavage of the imide followed by removal of the benzenesulfonyl group gave the title compd. I.

RX(10) OF 120



REF: J. Org. Chem., 58(18), 5019-22; 1993

OF 22 CASREACT COPYRIGHT 2000 ACS

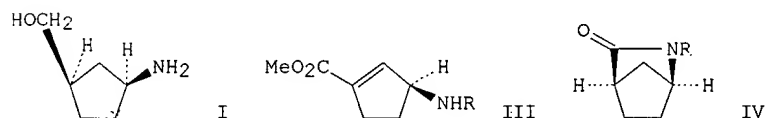
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Page 15

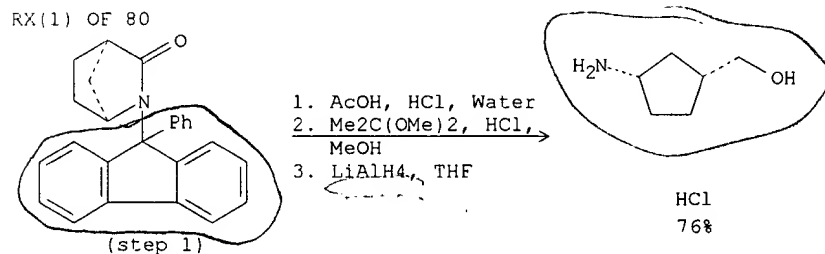
MELLER 09/198,427

=> d bib abs fcrdref 134 12

L34 ANSWER 12 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 119:49814 CASREACT
 TI Chiroselective synthesis of (1S,3R)-1-amino-3-(hydroxymethyl)cyclopentane,
 precursor for carbocyclic nucleoside synthesis. Dieckmann cyclization with
 an .alpha.-amino acid
 AU Bergmeier, Stephen C.; Cobas, Agustin A.; Rapoport, Henry
 CS Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
 SO J. Org. Chem. (1993), 58(9), 2369-76
 CODEN: JOCEAH; ISSN: 0022-3263
 DT Journal
 LA English
 GI



AB A new method for the stereospecific synthesis of the title compd. (I) is reported. I is a key precursor for the synthesis of some carbocyclic nucleosides. The method involves (1) an improved synthesis of (S)-2-aminoadipic acid; (2) Dieckmann cyclization of this .alpha.-amino acid to an aminocyclopentanone; and (3) elaboration of the latter to the target I. The starting (S)-2-aminoadipic acid .delta.-Me ester (II) was prepd. enantiomerically pure from L-aspartic acid in 51% overall yield. Dieckmann condensation converted II to a (methoxycarbonyl)cyclopentanone, and redn. of the ketone followed by elimination yielded cyclopentenecarboxylate III (R = 9-phenyl-9-fluorenyl). Redn. of the double bond gave a mixt. of the cis and trans diastereomers, which was converted to a single diastereomer by epimerization and trapping of the cis isomer as the bicyclic lactam IV. Hydrolytic cleavage of IV followed by redn. gave I.

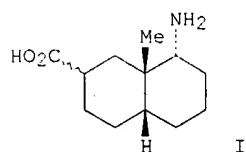


REF: J. Org. Chem., 58(9), 2369-76; 1993

OF 22 CASREACT COPYRIGHT 2000 ACS

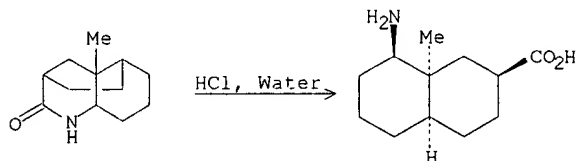
=> d bib abs fcrdref 134 13

L34 ANSWER 13 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 117:130661 CASREACT
 TI Design and synthesis of intramolecular ion-pairing cis-bicyclo[4.4.0]decane (cis-decalin) amino acids: conformation-based probes of electrostatic interactions in water
 AU Beeson, Craig; Dix, Thomas A.
 CS Dep. Chem. Biol. Chem., Univ. California, Irvine, CA, 92717, USA
 SO J. Org. Chem. (1992), 57(16), 4386-94
 CODEN: JOCEAH; ISSN: 0022-3263
 DT Journal
 LA English
 GI



AB The design strategy and synthesis of cis-bicyclo[4.4.0]decane (cis-decalin) derivs. as conformation-based probes of electrostatic interactions in H₂O are described. The mols. were designed so that formation of an intramol. electrostatic interaction occurs in only one of two low-energy conformers; hence, the conformational equil. of a given mol. is under control of the electrostatic interaction, which can be detd. accurately with NMR studies. The structural definition inherent to the mols. will enable the thermodyn. and kinetics of solvent reorganization, which controls formation of electrostatic interactions in H₂O, to be probed directly. The first probe, a cis-decalin amino acid I designed to evaluate an intramol. ion pair, has been synthesized. The total synthesis was efficient and illustrated many of the strategies and potential pitfalls assocd. with the prepn. of conformationally flexible ring systems. In particular, the inherent facial selectivity afforded by the shape of the cis-decalin, a crit. component of the synthetic design, was reversed in one step in which hydrogen was added from the sterically encumbered concave face of the mol. A cis-decalin amino acid of a different stereoelectronic array was also prepd. These mols. are the first examples to emerge from the application of a general design and synthetic strategy that will enable probes for all of the important biol. electrostatic interactions to be constructed. The study of these mols. will provide significant insight into the synergistic role of mol. structure and solvent at controlling electrostatic interactions in H₂O, an important basis of biol. structure and function.

RX(6) OF 9



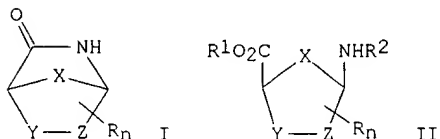
REF: J. Org. Chem., 57(16), 4386-94; 1992

OF 22 CASREACT COPYRIGHT 2000 ACS

=> d bib abs fcrdref 134 14

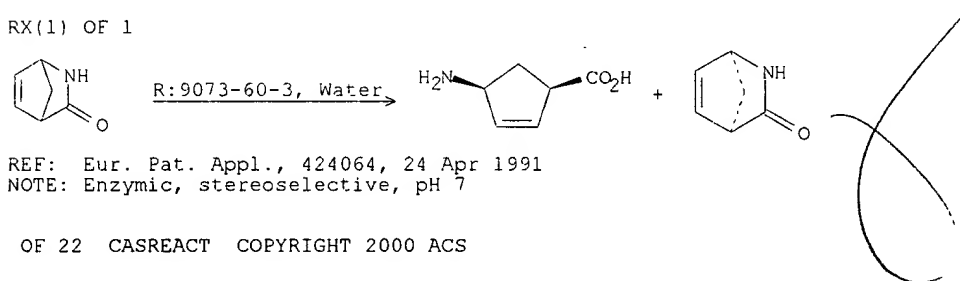
L34 ANSWER 14 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 115:49382 CASREACT
 TI Chiral compounds
 IN Evans, Christopher Thomas; Roberts, Stanley Michael
 PA Enzymatix Ltd., UK
 SO Eur. Pat. Appl., 7 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 424064	A1	19910424	EP 1990-311253	19901015
	EP 424064	B1	19950208		
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	JP 2648013	B2	19970827		
	ES 2067693	T3	19950401	ES 1990-311253	19901015
	US 5284769	A	19940208	US 1993-63392	19930520
	US 5498625	A	19960312	US 1994-336754	19941108
	US 5688933	A	19971118	US 1995-461973	19950605
PRAI	GB 1989-23278		19891016		
	GB 1989-24209		19891027		
	GB 1990-995		19900117		
	US 1990-596306		19901015		
	US 1993-35236		19930322		
	US 1994-336754		19941108		
OS	MARFAT 115:49382				
GI					



AB Lactamases react with .gamma.-lactams I (X = CH₂, CH₂CH₂, Q, CH₂Q, QCH₂, Q = heteroatom (including NH), Y, Z = CH₂, heteroatom (including NH); YZ = CH:CH, CH:N, N:CH; Rn = H or substituent) to give single enantiomer of the lactam and the corresponding ring opened product II (R1 = H, alkyl; R2 = H, blocking group). Thus, (+-)-2-azabicyclo[2.2.1]hept-5-en-3-one was treated with ENZA-1 cell paste (prepn. given) in phosphate buffer to give (+)-lactam and the corresponding (-)-amino acid.

RX(1) OF 1

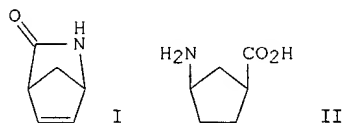


REF: Eur. Pat. Appl., 424064, 24 Apr 1991
 NOTE: Enzymic, stereoselective, pH 7

OF 22 CASREACT COPYRIGHT 2000 ACS

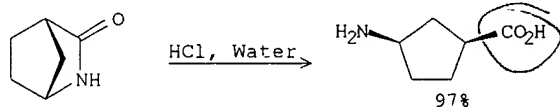
=> d bib abs fcrdref 134 15

L34 ANSWER 15 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 114:246838 CASREACT
 TI Synthesis of either enantiomer of cis-3-aminocyclopentanecarboxylic acid from both enantiomers of racemic 2-azabicyclo[2.2.1]hept-5-en-3-one
 AU Evans, Chris; McCague, Ray; Roberts, Stanley M.; Sutherland, Alan G.
 CS Enzymatix Ltd., Cambridge, CB4 4WE, UK
 SO J. Chem. Soc., Perkin Trans. 1 (1991), (3), 656-7
 CODEN: JCPRB4; ISSN: 0300-922X
 DT Journal
 LA English
 GI



AB (-)-2-Azabicyclo[2.2.1]hept-5-en-3-one (I) was converted into (-)-cis-3-aminocyclopentanecarboxylic acid (-)-II in 2 and into the enantiomeric (+)-II in 3 steps. Thus, bromination of I with Br₂ in CH₂Cl₂, debromination with Bu₃SnH-AIBN in PhMe, followed by hydrolysis with HCl-H₂O gave (+)-II. Catalytic hydrogenation of I with Pd on C in EtOAc followed by hydrolysis gave (-)-II.

RX(4) OF 7



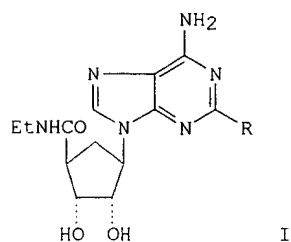
REF: J. Chem. Soc., Perkin Trans. 1, (3), 656-7; 1991

OF 22 CASREACT COPYRIGHT 2000 ACS

Maybe.

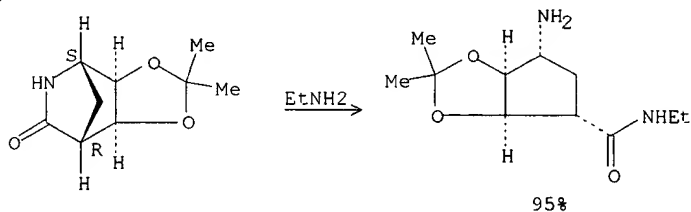
=> d bib abs fcrdref 134 16

L34 ANSWER 16 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 113:6725 CASREACT
 TI A novel and efficient route to chiral 2-substituted carbocyclic
 5'-N-ethylcarboxamidoadenosine (C-NECA)
 AU Chen, Jen; Grim, Michael; Rock, Caren; Chan, Kenneth
 CS Pharm. Div., CIBA-GEIGY Corp., Summit, NJ, 07901, USA
 SO Tetrahedron Lett. (1989), 30(41), 5543-6
 CODEN: TELEAY; ISSN: 0040-4039
 DT Journal
 LA English
 GI



AB A series of chiral 2-substituted-carbocyclic-NECA analogs I (R = PhNH, cyclohexylamino, PhCH₂CH₂NH, etc.) was prepared in seven steps with an efficient resolu. The overall yield is good and can be applied to the other carbocyclic nucleosides.

RX(2) OF 47

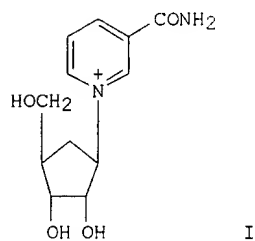


REF: Tetrahedron Lett., 30(41), 5543-6; 1989

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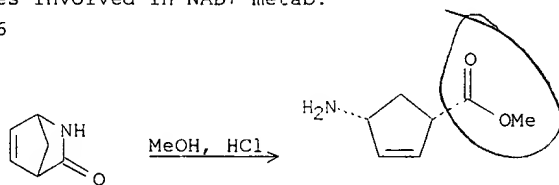
=> d bib abs fcrdref 134 17

L34 ANSWER 17 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 112:217364 CASREACT
 TI Synthesis of the two enantiomers of the carbocyclic analog of nicotinamide ribose and analysis of their biological properties
 AU Ikbal, Mohamed; Cerceau, Claude; Le Goffic, Francois; Sicsic, Sames
 CS CERCOA, CNRS, Thiais, 94320, Fr.
 SO Eur. J. Med. Chem. (1989), 24(4), 415-20
 CODEN: EJMCA5; ISSN: 0223-5234
 DT Journal
 LA French
 GI



AB Enantiomers of the carbocyclic analog of nicotinamide ribose I were prepd. via an enzymic resolu. of the precursor (.)-II using pig liver esterase. (-)-I possessed good and highly specific bactericidal and fungicidal activities. In vivo competition expts. between (-)-I and intermediate mols. of the pyridine nucleotide cycle along with its inhibitory behavior against 2 key enzymes of the NAD+ metab. were performed and suggested that the target of (-)-I could be one of the enzymes involved in NAD+ metab.

RX(1) OF 36

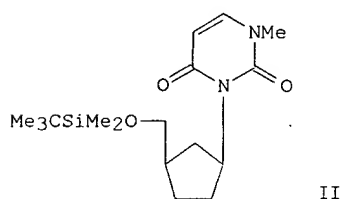


REF: Eur. J. Med. Chem., 24(4), 415-20; 1989

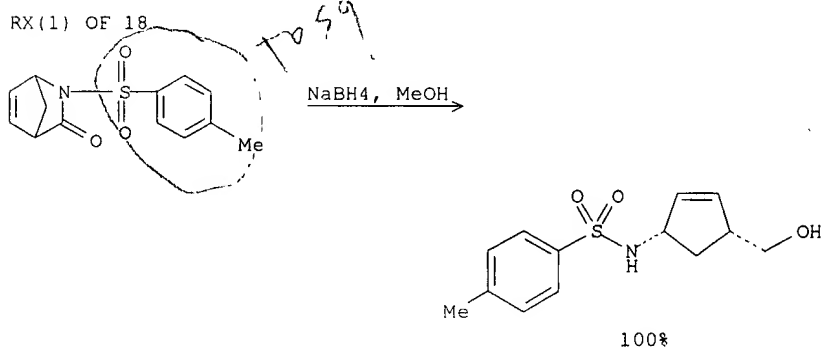
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=> d bib abs ferdref 134 18

L34 ANSWER 18 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 112:36336 CASREACT
 TI Synthesis of nucleosides and their related compounds. Part 13.
 Stereospecific synthesis of carbocyclic nucleosides from
 2-azabicyclo[2.2.1]heptan-3-ones via sodium borohydride mediated
 carbon-nitrogen bond cleavage
 AU Katagiri, Nobuya; Muto, Makoto; Keneko, Chikara
 CS Pharm. Inst., Tohoku Univ., Sendai, 980, Japan
 SO Tetrahedron Lett. (1989), 30(13), 1645-8
 CODEN: TELEAY; ISSN: 0040-4039
 DT Journal
 LA English
 GI



AB New synthons for carbocyclic nucleosides have been synthesized from 2-azabicyclo[2.2.1]hept-5-en-3-one (I), readily available from cyclopentadiene, through introduction of an electron-withdrawing substituent at the 2-position followed by redn. with NaBH₄. Thus, uracil II was prepd. from I in several steps starting with condensation with MeNCO, hydrogenation of the double bond, and cleavage of the CO-N bond with NaBH₄.

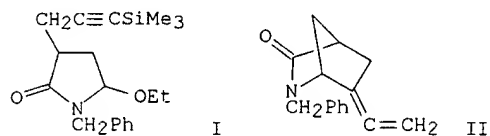


REF: Tetrahedron Lett., 30(13), 1645-8; 1989

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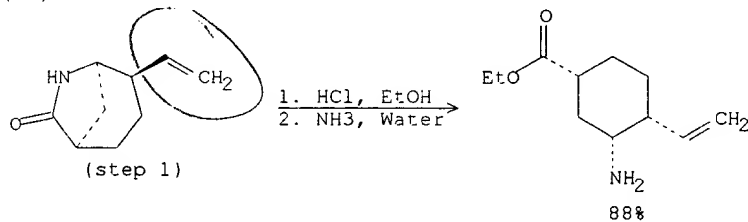
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L34 ANSWER 19 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 111:77830 CASREACT
 TI Silicon-assisted synthesis of bridged azabicyclic systems via
 N-acyliminium intermediates
 AU Klaver, Wim J.; Hiemstra, Henk; Speckamp, W. Nico
 CS Lab. Org. Chem., Univ. Amsterdam, Amsterdam, 1018 WS, Neth.
 SO Tetrahedron (1988), 44(21), 6729-38
 CODEN: TETRAB; ISSN: 0040-4020
 DT Journal
 LA English
 GI



AB Intramol. acid-mediated reactions of 2-propynyl- and allylsilanes with five- and six-membered cyclic N-acyliminium ion precursors e.g. I lead to bridged azabicyclic compds. e.g., II. Neat formic acid is the reaction medium of choice in most cases. The cyclization reactions take place with complete regioselectivity. 2-Propynylsilanes are more reactive than allylsilanes. An ordinary olefin reacts poorly. The cyclization products can be useful for the synthesis of .gamma.- and .delta.-amino acids and derivs.

RX(30) OF 100

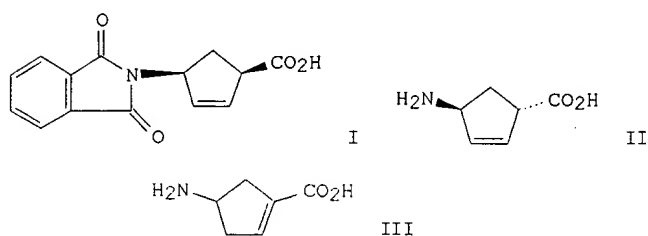


REF: Tetrahedron, 44(21), 6729-38; 1988

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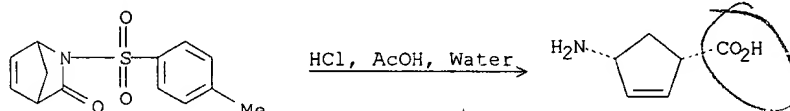
=> d bib abs fcrdref 134 20

L34 ANSWER 20 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 107:39244 CASREACT
 TI .gamma.-Aminobutyric acid. Synthesis of analogs of GABA. XV.
 Preparation and resolution of some potent cyclopentene and cyclopentane derivatives
 AU Allan, Robin D.; Fong, Joyce
 CS Dep. Pharmacol., Univ. Sydney, 2006, Australia
 SO Aust. J. Chem. (1986), 39(6), 855-64
 CODEN: AJCHAS; ISSN: 0004-9425
 DT Journal
 LA English
 GI



AB A series of cyclopentene and cyclopentane analogs of GABA were prepd. utilizing a thermal cis-trans isomerization of the phthalimido .beta.,.gamma.-unsatd. acid I as the key step to obtain trans-aminocyclopentenecarboxylic acid II. Resoln. of some of the potent GABA analogs, in particular (+)-(4S)- and (-)-(4R)-aminocyclopentenecarboxylic acid III was achieved by crystn. of isopropylideneribonolactone esters or pantolactone esters of the phthalimido-protected intermediates.

RX(21) OF 132



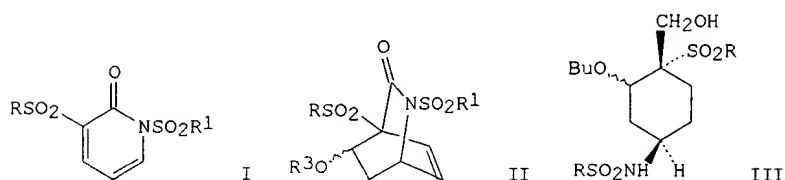
REF: Aust. J. Chem., 39(6), 855-64; 1986

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maybe.

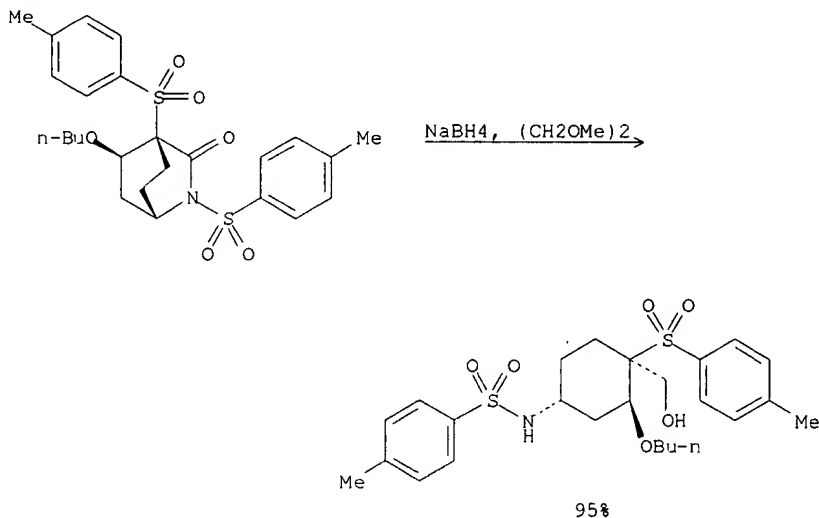
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L34 ANSWER 21 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 107:23211 CASREACT
 TI Diels-Alder cycloadditions using electrophilic sulfonyl pyridones
 AU Posner, Gary H.; Switzer, Christopher
 CS Dep. Chem., Johns Hopkins Univ., Baltimore, MD, 21218, USA
 SO J. Org. Chem. (1987), 52(8), 1642-4
 CODEN: JOCEAH; ISSN: 0022-3263
 DT Journal
 LA English
 GI



AB A series of N-sulfonyl-3-p-toluenesulfonyl-2-pyridones I (R = 4-MeC₆H₄; R₁ = 4-R₂C₆H₄, C₆F₅, CF₃, R₂ = Me, Br, F, NO₂) were prepd. from 3-bromo-2-pyridone. Several of the electrophilic pyridones I reacted with R₃OCH:CH₂ (R₃ = Et, Bu) between 25-100.degree.C to produce unsatd., bridged, bicyclic lactams II. At 5-7 kbar of pressure, such inverse-electron-demand Diels-Alder cycloaddns. proceeded smoothly at 25-50.degree. forming cycloadducts II (R₁ = 4-MeC₆H₄, R₃ = Bu; R₁ = C₆F₅, R₃ = Et) in a regiospecific and stereoselective manner. Catalytic redn. of the ethylenic bridge of bicyclic lactam II (R₁ = 4-MeC₆H₄, R₃ = Bu) followed by reductive cleavage by NaBH₄ formed. Functionalized aminocyclohexane III (R = 4-MeC₆H₄).

RX(37) OF 300



REF: J. Org. Chem., 52(8), 1642-4; 1987

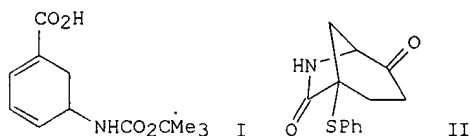
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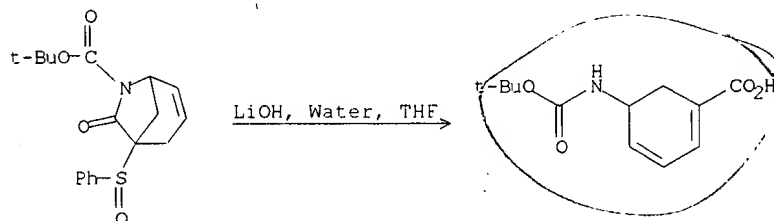
=> d bib abs ferdref 134 22

L34 ANSWER 22 OF 22 CASREACT COPYRIGHT 2000 ACS
 AN 106:18221 CASREACT
 TI Regioselective synthesis of (.+-.)-gabaculine
 AU Hiemstra, Henk; Klaver, Wim J.; Speckamp, W. Nico
 CS Lab. Org. Chem., Univ. Amsterdam, Amsterdam, 1018 WS, Neth.
 SO Tetrahedron Lett. (1986), 27(12), 1411-14
 CODEN: TELEAY; ISSN: 0040-4039
 DT Journal
 LA English
 GI



AB The gabaculine intermediate I was prepd. from 5-ethoxy-2-pyrrolidinone via the diketone II whose monotosylhydrazone was subjected to oxidative ring cleavage.

RX(11) OF 52



REF: Tetrahedron Lett., 27(12), 1411-14; 1986

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